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FULL ESTIMATED COST

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http://www.cas.org/ONLINE/UG/regprops.html

=> d str cn rn

L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

CN Formamide, N-[2-hydroxy-5-[(1R)-1-hydroxy-2-[[(1R)-2-(4-methoxyphenyl)-1-methylethyl]amino]ethyl]phenyl]-, rel- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:

CN Formamide, $N-[2-hydroxy-5-[1-hydroxy-2-[[2-(4-methoxyphenyl)-1-methylethyl]amino]ethyl]phenyl]-, <math>(R^*, R^*)-(\pm)-$

OTHER NAMES:

CN (±) Formoterol

CN Eformoterol

CN Formamide, N-[2-hydroxy-5-[1-hydroxy-2-[[2-(4-methoxyphenyl)-1-methylethyl]amino]ethyl]phenyl]-, (R*,R*)-

CN Formoterol

CN Oxis

RN 73573-87-2 REGISTRY

=> s fluticasone

L2 7 FLUTICASONE

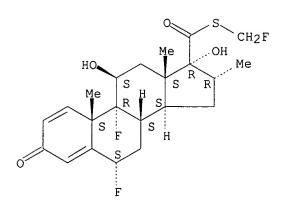
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L3 1 FLUTICASONE/CN

=> d L3 str cn rn

L3 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

CN Androsta-1, 4-diene-17-carbothioic acid, 6, 9-difluoro-11, 17-dihydroxy-16-methyl-3-oxo-, S-(fluoromethyl) ester, $(6\alpha,11\beta,16\alpha,17.alp$ ha.)- (9CI) (CA INDEX NAME) OTHER NAMES:

CN **Fluticasone**RN 90566-53-3 REGISTRY

=> file caplus
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 19.40 19.61

FILE 'CAPLUS' ENTERED AT 14:27:38 ON 14 JUN 2006 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 14 Jun 2006 VOL 144 ISS 25 FILE LAST UPDATED: 13 Jun 2006 (20060613/ED)

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http://www.cas.org/infopolicy.html

=> s 73573-87-2

REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

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L10 ANSWER 1 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:95263 CAPLUS

DOCUMENT NUMBER: 140:151934

TITLE: Formulations of antiallergic agents with lactalbumin

> hydrolyzate Goerne, Martin

INVENTOR(S): PATENT ASSIGNEE(S): Kosmas K.-G., Germany SOURCE: Ger. Offen., 10 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

APPLICATION NO. PATENT NO. KIND DATE DATE ---------_____ DE 10158036 A1 20040205 DE 2001-10158036 20011127 <--PRIORITY APPLN. INFO.: DE 2001-10158036 20011127 <--

The invention concerns pharmaceutical compns. that contain an allergy inhibitor and lactalbumin hydrolyzate; the lactalbumin hydrolyzate and the allergy inhibitor act syntergetically. Lactalbumin hydrolyzates are prepared by enzymic digestion with papain, pancreatin and at least one bacterial protease followed by series of extns. and dryings with ethanol and isopropanol. Thus a soft gel capsule contained (mg): prednisone 5; lactalbumin hydrolyzate fraction 10; soybean oil 440; soy lecithin 50; silica 5.

L10 ANSWER 2 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:777110 CAPLUS

DOCUMENT NUMBER: 139:286353

TITLE: Methods and compositions using trefoil peptides for

treating lesions of the respiratory epithelium

INVENTOR(S): Podolsky, Daniel K.

PATENT ASSIGNEE(S): The Gi Company, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 17 pp., Cont.-in-part of U.S.

Ser. No. 362,310.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

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| | | 18583 | 38 | | A1 | | 2003 | 1002 | | US 2 | 003- | 4318 | 05 | | 2 | 0030 | 508 | < |
| US 6 | 5221 | 840 | | | В1 | | 2001 | 0424 | | US 1 | 996- | 6314 | 69 | | 1 | 9960 | 412 | < |
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| CA 2 | 2480 | 372 | | | AA | | 2003 | 1009 | | CA 2 | 003- | 2480 | 372 | | 2 | 0030 | 326 | |
| AU 2 | 2003 | 2247 | 73 | | A1 | | 2003 | 1013 | | AU 2 | 003- | 2247 | 73 | | 2 | 0030 | 326 | |
| EP 1 | 494 | 530 | | | A2 | | 2005 | 0112 | | EP 2 | 003- | 7214 | 62 | | 2 | 0030 | 326 | |
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PRIORITY APPLN. INFO.:
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                                                              A2 20021127
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                                           US 2003-434752
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                                                              A 20030509
                                           WO 2003-US34796
                                                              W 20031031
AΒ
     This invention features methods of treating lesions of the airway
     epithelium by local or systemic administration of (intestinal) trefoil
     peptides. The intestinal trefoil peptide can be administered either alone
     or in combination with one or more therapeutic agents.
L10 ANSWER 3 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
                        2003:434320 CAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                        139:17578
TITLE:
                        Methods and compositions for treating lesions of the
                        respiratory epithelium
INVENTOR(S):
                        Podolsky, Daniel K.
PATENT ASSIGNEE(S):
                        The General Hospital Corporation, USA
                        PCT Int. Appl., 46 pp.
SOURCE:
                        CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                        English
FAMILY ACC. NUM. COUNT:
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PATENT INFORMATION:
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PATENT NO.
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PRIORITY APPLN. INFO.:
                                            US 2001-333836P
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                                            WO 2002-US38258
                                                                W 20021127
AΒ
     The present invention features methods and compns. for the treatment of
     lesions of the airway epithelium in mammals, by administering to the
     mammal therapeutically effective amts. of trefoil peptides, or a biol.
     active fragments thereof. Treatment of lesions according to the invention
     can speed healing, reduce pain, delay or prevent the occurrence of the
     lesion, and inhibit expansion, secondary infection, or other complications
     of the lesion. Lesions of the airway epithelium may result from any
     cause, including for example, an allergic reaction, asthma, an infection,
     an inhaled chemical or particulate exposure, a thermal lesion, smoke
     inhalation, drug-induced lung damage, trauma (caused, for example, by
     surgery or intubation), a microbial infection (e.g., bacterial, viral, or
     fungal), chronic obstructive pulmonary disease, antineoplastic therapy,
     cystic fibrosis, cardiovascular compromise such as congestive heart
     failure, or hyperbaric oxygen therapy. In all foregoing aspects of the
     invention, the mammal is preferably a human and the trefoil peptide is
     human intestinal trefoil factor (ITF), spasmolytic peptide (SP), pS2, or
     biol. active fragments thereof.
                                     Such fragments include for example,
     ITF15-73, ITF21-73, ITF1-72, ITF15-72, or ITF21-72. In the methods and
     compns. of this invention, a second therapeutic agent can be included.
     Such agents include antiinflammatory agents such as glucocorticoids
     (beclomethasone, flunisolide, budesonide, triamcinolone, prednisolone,
     dexamethasone, or fluticasone) or nonsteroidal antiinflammatory agents
     (e.g., ibuprofen, tacrolimus, cromolyn, nedocromil, rofecoxib, or
     celecoxib); antimicrobial agents (e.g., amikacin, gentamicin, kanamycin,
     neomycin, netilmicin, paromomycin, streptomycin, or tobramycin);
     antihistamines (e.g., diphenhydramine, fexofenadine, cetirizine, or
     loratadine); cholinergic receptor antagonists (e.g., ipratropium bromide
     or tiotropium); neurokinin receptor antagonists; leukotriene receptor
     antagonists; decongestants; phosphodiesterase inhibitors; or
     β-adrenergic receptor antagonists (albuterol, bitolterol,
```

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L10 ANSWER 4 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                         2003:376127
                                      CAPLUS
DOCUMENT NUMBER:
                         138:390904
TITLE:
                         Water stabilized medicinal aerosol formulation
INVENTOR(S):
                         Adjei, Akwete; Cutie, Anthony J.
PATENT ASSIGNEE(S):
SOURCE:
                         U.S. Pat. Appl. Publ., 13 pp., Cont.-in-part of U.S.
                         Ser. No. 619,183, abandoned.
                         CODEN: USXXCO
DOCUMENT TYPE:
                         Patent
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epinephrine, fenoterol, formoterol, isoetharine, isoproterenol,

(either before or after) 14 days, 7 days, 1 day, 12 h, 1 h, or

simultaneously with the trefoil peptide.

metaproterenol, pirbuterol, procaterol, rac-epinephrine, salmeterol, or terbutaline). The second therapeutic agent may be administered within

LANGUAGE: English FAMILY ACC. NUM. COUNT: 3

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PATENT NO.
                       KIND DATE APPLICATION NO.
                                                                 DATE
                       ____
                                         -----
                               20030515 US 2002-234825 20020903 <-- 20010717 US 1998-209228 19981210 <--
                        A1
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B2 20000719 <--
PRIORITY APPLN. INFO.:
                                           US 1998-209228
                                           US 2000-619183
                                                              A 20020903
W 20030903
                                           US 2002-234825
                                           WO 2003-US27245
     This invention relates to a medicinal aerosol suspension formulation and
AΒ
     drug or a combination of at least two particulate drugs, a propellant and
     a stabilizing agent comprising a water addition
L10 ANSWER 5 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
```

more particularly, to a medicinal aerosol formulation containing a particulate

ACCESSION NUMBER: 2003:355834 CAPLUS

DOCUMENT NUMBER:

138:362665

TITLE:

Immunostimulatory nucleic acids for the treatment of

asthma and allergy

INVENTOR(S):

Bratzler, Robert L.; Petersen, Deanna M.; Fouron, Yves

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 221 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| US 2003087848 | A1 | 20030508 | US 2001-776479 | 20010202 < |
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A9 | 20030308 | 03 2001-770479 | 20010202 < |
| US 2004235774 | A1 | 20041125 | US 2004-831778 | 20040423 < |
| PRIORITY APPLN. INFO.: | | | US 2000-179991P | 20000203 < |
| | | | US 2001-776479 A | 1 20010202 < |

MARPAT 138:362665 OTHER SOURCE(S):

The invention involves administration of an immunostimulatory nucleic acid alone or in combination with an asthma/allergy medicament for the treatment or prevention of asthma and allergy in subjects. The combination of drugs are administered in synergistic amts. or in various dosages or at various time schedules. The invention also relates to kits and compns. concerning the combination of drugs.

L10 ANSWER 6 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:257320 CAPLUS

DOCUMENT NUMBER:

138:260488

TITLE:

Method for the production of sterile liquid

preparations for inhalation

INVENTOR(S):

SOURCE:

Keller, Manfred; Lintz, Frank

PATENT ASSIGNEE(S):

Pari Gmbh, Germany Ger. Offen., 14 pp.

CODEN: GWXXBX

DOCUMENT TYPE:

LANGUAGE:

Patent German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PATENT NO. KIND DATE APPLICATION NO. DATE

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EP 1417958 A1 20040512 EP 2002-25006 20021108 <--
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WO 2004041253 A1 20040521 WO 2003-EP11949 20031028

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            RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
                 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
                 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
                 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
      AU 2003279326 A1 20040607 AU 2003-279326 20031028
EP 1558217 A1 20050803 EP 2003-772269 20031028
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
      US 2006057073 A1 20060316 US 2004-517910
                                                                                        20041208
                                                          DE 2001-10145361 A 20010914 <--
EP 2002-25006 A 20021108
WO 2003-EP11949 W 20031028
PRIORITY APPLN. INFO.:
```

The invention concerns the production of sterile aqueous inhalation aerosols AΒ containing slightly soluble drugs by (a) preparing an aqueous suspension containing drug

particles larger than 1 µm and a dissolved surfactant; (b) reduction of the particle size by high pressure homogenization or collision jet grinding to obtain particles less than 1 µm; (c) heat treatment of the suspension for sterilization, the final average particle size is less than 2 μm . inhalants are formulated for pulmonary and nasal use. Suspensions can be nebulized by aerosol nozzles, ultrasound, vibrating membranes with defined pore sizes or electrohydrodynamically.

L10 ANSWER 7 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:44146 CAPLUS

DOCUMENT NUMBER:

138:73178

TITLE:

Preparation and pharmaceutical combinations of [(hetero)arylalkyl]piperidinyl amine, amide, or carbamate CCR3 antagonists for treatment of asthma,

allergic disease, or inflammation

INVENTOR(S):

Bahl, Ash; Perry, Matthew; Springthorpe, Brian

Astrazeneca AB, Swed.

SOURCE:

Brit. UK Pat. Appl., 91 pp.

CODEN: BAXXDU

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT ASSIGNEE(S):

PATENT NO. KIND DATE APPLICATION NO. DATE ----______

GB 2373186 PRIORITY APPLN. INFO.: OTHER SOURCE(S):

20020918 A1

GB 2001-4534 GB 2001-4534 20010223 <--20010223 <--

MARPAT 138:73178

GI

$$R^{1} - (Q)_{m} - (CR^{2}R^{3})_{n} - T - \begin{pmatrix} X^{2} - X^{1} \\ N - Z - R^{6} \\ X^{3} - X^{4} \end{pmatrix}$$

Title compds. I [wherein Z = CR4R5, CO, or CR4R5Z1; Z1 = alkylene, AB alkenylene, or CONH; R1 = (un)substituted alkyl, alkenyl, (hetero)cycloalkyl, or (hetero)aryl; Q = O, S, NR9, CO, CONR9, NR9CO, or CH=CH; m = 0-1; n = 0-6 with the proviso that when n = 0; then m = 0; R2and R3 = independently H or alkyl; or CR2R3 = (alkyl)cycloalkyl; T = NR10, CONR10, NR11CONR10, or CONR10R11; X1-X4 = independently CH2CHR12 or CO; R4 and R5 = independently H or alkyl; R6 = (un)substituted (hetero)aryl; R9-R11 = independently H, alkyl, haloalkyl, hydroxyalkyl, cycloalkyl(alkyl), or phenylalkyl; R12 = independently (cyclo)alkyl or CO; or R12 groups of X1 and X3 or X4, or X2 and X3 or X4 join to form CH2CH2, CH2CH2CH2, CH2OCH2, or CH2SCH2; or pharmaceutically acceptable salts or solvates thereof] were prepared as cysteine-cysteine chemokine receptor 3 (CCR3) antagonists for use in pharmaceutical combinations with a histamine antagonist, steroid, leukotriene modulator, human cytokine, β -agonist, phosphodiesterase inhibitor, or antibody (no data). example, 1-(3,4-dichlorobenzyl)-4-piperidinamine • 2CF3CO2H was condensed with 2-(4-fluorophenyl) acetic acid to give N-[1-(3,4dichlorobenzyl)-4-piperidinyl}-2-(4-fluorophenyl)acetamide (II). I are useful in combination therapy for the treatment of asthma, rhinitis, and other allergic or inflammatory conditions (no data).

II

L10 ANSWER 8 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2003:5762 CAPLUS

DOCUMENT NUMBER:

138:78452

TITLE:

Pharmaceutical compositions containing anticholinergic

agents, corticosteroids and betamimetic agents

INVENTOR(S):

Meade, Christopher John Montague; Pieper, Michael P.;

Pairet, Michel

PATENT ASSIGNEE(S):

Boehringer Ingelheim Pharma K.-G., Germany

PCT Int. Appl., 36 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

| PAT | CENT | NO. | | | KIN | D | DATE | | | APPL | ICAT | ION | NO. | | D. | ATE | |
|-----|------|------|-----|-----|-----|-----|------|------|-----|------|------|------|-----|-----|-----|------|-------|
| | | | | | | _ | | | | | | | | | _ | | |
| WO | 2003 | 0002 | 41 | | A2 | | 2003 | 0103 | | WO 2 | 002- | EP58 | 96 | | 2 | 0020 | 529 < |
| WO | 2003 | 0002 | 41 | | А3 | | 2003 | 1211 | | | | | | | | | |
| | W: | ΑE, | ΑG, | AL, | AM, | ΑT, | ΑU, | AZ, | BA, | BB, | BG, | BR, | BY, | ΒZ, | CA, | CH, | CN, |

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     DE 10130371
                                            DE 2001-10130371
                         A1
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                                                                   20010623 <--
                                20030103
     CA 2455167
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                                            CA 2002-2455167
                                                                   20020529 <--
                         A2
     EP 1408967
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            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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     JP 2005502608
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                                            JP 2003-506888
                                                                   20020529 <--
     US 2003018019
                         A1
                                20030123
                                            US 2002-173194
                                                                   20020617 <--
     US 2006057074
                         A1
                                20060316
                                            US 2005-267354
                                                                   20051104 <--
PRIORITY APPLN. INFO.:
                                            DE 2001-10130371
                                                              A 20010623 <--
                                            US 2001-304148P
                                                               P 20010710 <--
                                            WO 2002-EP5896
                                                                W 20020529
                                            US 2002-173194
                                                                A1 20020617
AB
     The invention relates to novel pharmaceutical compns. based on
     anitcholinergic agents, corticosteroids and betamimetic agents, to methods
     for their production and to their use for treating respiratory tract diseases.
     Thus an inhalation powder was prepared that contained (µg) per capsule:
     tiotropium bromide monohydrate 22.6; budesonide 200; salmeterol x 0.5
     H2SO4 55.9; lactose 4721.6.
    ANSWER 9 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                         2002:813911 CAPLUS
DOCUMENT NUMBER:
                         137:316082
TITLE:
                         Formoterol/steroid bronchodilating compositions and
                         methods of use thereof
INVENTOR(S):
                         Banerjee, Partha S.; Chaudry, Imitiaz A.
PATENT ASSIGNEE(S):
                         Dey LP, USA
                         PCT Int. Appl., 52 pp.
SOURCE:
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
                         English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                DATE
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                        KIND
                                           APPLICATION NO.
                                                                   DATE
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                                                                   -----
     WO 2002083113
                         A2
                                20021024
                                            WO 2002-US6252
                                                                   20020301 <--
    WO 2002083113
                         А3
                                20030320
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2003055026
                         A1
                                20030320
                                           US 2001-887496
                                                                   20010622 <--
     CA 2444535
                         AA
                                20021024
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                                                                   20020301 <--
     EP 1385494
                                           EP 2002-719098
                         A2
                                20040204
                                                                   20020301 <--
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AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

JP 2002-580917

US 2002-145978

US 2001-284607P

20020301 <--

20020513 <--

P 20010417 <--

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

20050512

20021205

T2

A1

JP 2005512944

US 2002183293

PRIORITY APPLN. INFO.:

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US 2001-887496 A1 20010622 <--
WO 2002-US6252 W 20020301
```

AB Bronchodilating compns. intended for administration as a nebulized aerosol are provided. In certain embodiments, the compns. contain formoterol, or a derivative thereof, and a steroidal anti-inflammatory agent. Methods for treatment, prevention, or amelioration of one or more symptoms of bronchoconstrictive disorders using the compns. provided herein are also provided. For example, a solution was prepared containing Formoterol fumarate dihydrate 85 μ g/mL, budesonide 125 μ g/mL, vitamin E TPGS 10 μ g/mL, Polyethylene glycol 10 μ g/mL, citrate buffer 50mM, sodium chloride 7.5 mg/mL, and water as needed.

L10 ANSWER 10 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:777694 CAPLUS

DOCUMENT NUMBER: 137:284361

TITLE: Drug delivery aerosols containing hydrofluoroalkanes

and solid excipients

INVENTOR(S): Mueller-Walz, Rudi; Niederlaender, Carsten

PATENT ASSIGNEE(S): Jago Research A.-G., Switz.

SOURCE: PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

LANGUAGE: German FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT | NO. | | KINI |) | DATE | | | | ICAT: | | | | D. | ATE | | |
|--------------|--------|-------------|------|--------|------|------|-----|-------|-------|-------|-----|-----|-----|-------|-----|---|
| WO 2002 | 078671 | | A1 | | 2002 | 1010 | , | | | | | | 2 | 0020 | 311 | < |
| W: | AE, AG | | | | | | | | | | | | | | | |
| | CO, CI | R, CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, | |
| | GM, H | R, HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | ΚP, | KR, | ΚZ, | LC, | LK, | LR, | |
| | LS, L | r, Lu, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | ΝZ, | OM, | PH, | |
| | PL, P | r, RO, | RU, | SD, | SE, | SG, | SI, | SK, | SL, | ТJ, | TM, | TN, | TR, | TT, | ΤZ, | |
| | UA, UC | G, US, | UZ, | VN, | YU, | ZA, | ZM, | zw | | | | | | | | |
| RW: | GH, GN | 1, KE, | LS, | MW, | MZ, | SD, | SL, | SZ, | ΤZ, | UG, | ZM, | ZW, | AT, | BE, | CH, | |
| | CY, DE | E, DK, | ES, | FΙ, | FR, | GB, | GR, | ΙE, | ΙΤ, | LU, | MC, | NL, | PT, | SE, | TR, | |
| | BF, B | J, CF, | CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ML, | MR, | ΝE, | SN, | TD, | TG | |
| CA 2442 | 415 | | AA | | 2002 | 1010 | 1 | CA 2 | 002- | 2442 | 415 | | 2 | 0020 | 311 | < |
| EP 1372 | 608 | | A1 | | 2004 | 0102 | | EP 2 | 002- | 7011 | 45 | | 2 | 0020 | 311 | < |
| R: | AT, BE | Е, СН, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, | |
| | IE, SI | [, LT, | LV, | FI, | RO, | MK, | CY, | AL, | TR | | | | | | | |
| CN 1499 | 958 | | Α | | 2004 | 0526 | 1 | CN 2 | 002- | 8073 | 82 | | 2 | 00203 | 311 | < |
| NZ 5286 | 40 | | Α | | 2004 | 0625 | | NZ 2 | 002- | 5286 | 40 | | 2 | 0020 | 311 | < |
| JP 2004 | 525148 | | Т2 | | 2004 | 0819 | | JP 2 | 002- | 5769 | 37 | | 2 | 00203 | 311 | < |
| ZA 2003 | | | Α | | 2004 | 1123 | | ZA 2 | 003- | 7161 | | | 2 | 00309 | 912 | < |
| NO 2003 | 004323 | | Α | | 2003 | 0926 | 1 | NO 2 | 003- | 4323 | | | 2 | 00309 | 926 | < |
| US 2004 | 101483 | | A1 | | 2004 | 0527 | | US 2 | 003- | 4738 | 74 | | 2 | 00309 | 930 | < |
| PRIORITY APP | LN. IN | · · · · · · | | | | | | CH 2 | 001- | 601 | | | A 2 | 00103 | 330 | < |
| | | | | | | | | CH 20 | 001- | 1527 | | | A 2 | 00108 | 820 | < |
| | | | | | | | 1 | WO 21 | 002-0 | CH14: | 5 | 1 | W 2 | 00203 | 311 | |
| OTHER COMPOR | 101. | | MADI | יים ער | 127. | 2012 | C 1 | | | | | | | | | |

OTHER SOURCE(S): MARPAT 137:284361

AB The invention concerns drug delivery systems in form of aerosols that contain the active substance, the palmitates and stearates of calcium, magnesium and zinc as solid excipients, and hydrofluoroalkanes. Thus 24.96 g micronized budesonide and 3.12 g magnesium stearate were weighed in to a pressure vessel and filled with 7.8 kg HFA 134a. After homogenization the suspension was filled into aluminum inhalers.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 11 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2002:671829 CAPLUS

DOCUMENT NUMBER: 137:206550

TITLE: Inhalatory compositions of formoterol

INVENTOR(S): Gagnoni, Alessandro; Meoli, Andrea; Vanossi, Sereno

PATENT ASSIGNEE(S): Chemo Healthcare S.A., Switz.

SOURCE: Eur. Pat. Appl., 7 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| | PAT | ENT | NO. | | | KINI |) | DATE | | API | PLICAT | I NOI | .00 | | DF | ATE | | |
|---|-----|------|----------|-----|-----|--------|-----|------|------|--------|--------|----------|-----|-----|----|------|-----|---|
| | EP | 1236 |
6467 | | |
A1 | - | 2002 | 0904 | EP | 2002-4 |
4635 | | | 20 | 0202 | 228 | < |
| | | | | BE, | | | | | | GB, GI | | | | NL, | | | | |
| | | | ΙE, | SI, | LT, | LV, | FI, | RO, | MK, | CY, AI | L, TR | | | | | | | |
| | CA | 2374 | 257 | | | AA | | 2002 | 0902 | CA | 2002-2 | 23742 | 257 | | 20 | 0203 | 301 | < |
| | US | 2002 | 1550 | 68 | | A1 | | 2002 | 1024 | US | 2002-8 | 86868 | 3 | | 20 | 0203 | 304 | < |
| ſ | US | 6719 | 994 | | | B2 | | 2004 | 0413 | | | | | | | | | |
| ſ | US | 2002 | 1550 | 68 | | A1 | | 2002 | 1024 | | | | | | | | | |

PRIORITY APPLN. INFO.: IT 2001-MI428 A 20010302 <--

AB Inhalatory pharmaceutical compns. containing formoterol as active ingredient, comprises a vial containing a sterile liquid vehicle suitable for inhalation, a reservoir chamber cap containing a powder mixture consisting of Formoterol or a related salt in micronized form and one or more excipients, soluble in the vehicle and suitable for respiratory use. The composition comprises a further active ingredient, i.e., budesonide, fluticasone, flunisolide, mometasone or ipratropium bromide.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 12 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:591707 CAPLUS

DOCUMENT NUMBER: 137:140509

TITLE: Preparation of nicotinamides and mimetics as

inhibitors of phosphodiesterase IV isozymes

INVENTOR(S): Chambers, Robert J.; Magee, Thomas V.; Marfat, Anthony

PATENT ASSIGNEE(S): Pfizer Products Inc., USA SOURCE: Eur. Pat. Appl., 180 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PAS | TENT | NO. | | | KINI |) | DATE | | AP | PL: | ICAT: | ION : | NO. | | | DATE | | |
|----------|--------------|-------|------------|-----|----------|---|------|------|----------------|-----|-------|-------|-----|-----|----|--------|-----|---|
| | 1229
1229 | | | | A1
B1 | - | 2002 | | EP | 20 | 002-2 | 2502 | 02 | | • | 200201 | 11 | < |
| <u> </u> | | AT, | BE,
SI, | | DE, | | ES, | FR, | GB, G
CY, A | | | LI, | LU, | NL, | SE | E, MC, | PT, | |
| AT | 2931 | • | U . , | , | E | , | 2005 | • | • | • | 002-2 | 2502 | 02 | | | 200201 | 11 | < |
| ES | 2239 | 203 | | | Т3 | | 2005 | 0916 | ES | 20 | 002-2 | 2250 | 202 | | | 200201 | 11 | < |
| CA | 2369 | 462 | | | AA | | 2002 | 0731 | CA | 20 | 002-2 | 2369 | 462 | | | 200201 | .29 | < |
| US | 2002 | 11149 | 95 | | A1 | | 2002 | 0815 | US | 20 | 002-0 | 6281 | 1 | | | 200201 | 31 | < |
| BR | 2002 | 0002 | 50 | | Α | | 2002 | 1008 | BR | 20 | 002-2 | 250 | | | | 200201 | .31 | < |
| US | 2004 | 1717 | 98 | | A1 | | 2004 | 0902 | US | 20 | 004- | 7810 | 62 | | | 200402 | 217 | < |
| PRIORIT | Y APP | LN. | INFO | . : | | | | | US | 20 | 001-2 | 2652 | 40P | | Р | 200101 | .31 | < |
| | | | | | | | | | US | 19 | 997-4 | 4340 | 3 P | | Ρ | 199704 | 04 | < |
| | | | | | | | | | US | 19 | 998-3 | 1051 | 20P | | Р | 199810 | 21 | < |
| | | | | | | | | | US | 20 | 002-0 | 6281 | 1 | | В1 | 200201 | .31 | |

OTHER SOURCE(S): MARPAT 137:140509

GI

$$Y = CO(NR^3)_p (CR?R?)_n B^2 R^1 R^2 (CR?R?)_m A$$
 $V = V$
 $V = V$

AΒ Title compds. [I; p, q = 0, 1; m = 0-2; n = 1, 2; A = CO2R7, CONR9CO2R7, CONR7R9, OP(O)(OH)2, SO3H, acylsulfonamido, etc.; W = O, S, SO, SO2, NR3; Y = N, NO, CR11; R1, R2 = H, F, C1, cyano, NO2, alkyl, alkynyl, fluoroalkyl, etc.; R3 = H, alkyl, Ph, PhCH2, etc.; R4-R6 = H, F, C1, alkynyl, cyano, NO2, etc.; R7 = H, (substituted) alkyl, alkenyl, alkynyl; R9 = H, alkyl, cycloalkyl, Ph, PhCH2, pyridyl, etc.; R11 = H, F, Cl, cyano, NO2, alkyl, alkynyl, fluoroalkyl, fluoroalkoxy, etc.; Ra, Rb = H, F, CF3, alkyl, (substituted) cycloalkyl, Ph, PhCH2; B1, B2 = 3-7 membered (hetero)cyclyl, 7-12 membered poly(hetero)cyclyl; pairs of variables may form rings; with provisos], were prepared (no data). Thus, Me 2-[4-[[2-(benzo[1,3]dioxol-5-yloxy)pyridine-3carbonyl]amino]methyl]phenyl]-2-methylpropionate was suspended in Me3COH. Aqueous NaOH was added to the suspension, and the reaction mixture was refluxed 1 h to give 2-[4-[[[2-(benzo[1,3]dioxol-5-yloxy)pyridine-3carbonyl]amino]methyl]phenyl]-2-methylpropionic acid.

Ι

L10 ANSWER 13 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

6

ACCESSION NUMBER:

REFERENCE COUNT:

2002:556104 CAPLUS

DOCUMENT NUMBER:

137:109489

TITLE:

Compositions comprising a polypeptide and an active

agent

INVENTOR(S):

Piccariello, Thomas; Olon, Lawrence P.; Kirk, Randal

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

J.

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 34 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 19

| | PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|------|------------|---------------|--|---|---------------------------------------|---|
| PRIO | PATENT NO | KIND A1 A1 A1 | DATE

20020725
20040506
20060119 | US 2001-933708 US 2002-136433 US 2005-89056 US 2000-247556P US 2000-247559P US 2000-247560P US 2000-247561P US 2000-247594P US 2000-247594P US 2000-247606P US 2000-247606P US 2000-247606P US 2000-247607P US 2000-247609P US 2000-247610P US 2000-247611P US 2000-247611P US 2000-247612P | P P P P P P P P P P P P P P P P P P P | 20010822 < 20020502 < 20050325 < 20001114 < 20001114 < 20001114 < 20001114 < 20001114 < 20001114 < 20001114 < 20001114 < 20001114 < 20001114 < 20001114 < 20001114 < 20001114 < 20001114 < 20001114 < 20001114 < 20001114 < 20001114 < 20001114 < 20001114 < 20001114 < |
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US 2000-247807P
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US 2000-247832P
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US 2000-247833P
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US 2000-247926P
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                       20001114 <--
US 2000-247927P
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US 2000-247928P
                   Р
                       20001114 <--
US 2000-247929P
                   Ρ
                       20001114 <--
US 2000-247930P
                   Р
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US 2000-642820
                    A2 20000822 <--
US 2000-248607P
                    Р
                       20001116 <--
US 2001-933708
                    A2 20010822 <--
US 2002-358368P
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US 2002-358381P
                   Р
                       20020222
US 2002-362082P
                   P 20020307
US 2002-366258P
                   P 20020322
US 2002-156527
                    A2 20020529
WO 2003-US5525
                    A2 20030224
US 2003-507012P
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US 2004-567800P
                   P
                       20040505
US 2004-567802P
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US 2004-568011P
                   P
                       20040505
US 2004-923088
                   A2 20040823
US 2004-923257
                   A2 20040823
US 2004-953110
                   A2 20040930
US 2004-953111
                   A2 20040930
US 2004-953116
                    A2 20040930
US 2004-953119
                    A2 20040930
US 2004-955006
                    A2 20040930
WO 2004-US32131
                    A2 20040930
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AB Claimed are compns. comprising a polypeptide and an active agent covalently attached to the polypeptide and a method for delivery of an active agent to a patient by administering the composition to the patient. The peptide is a homopolymer of a naturally occurring amino acid or a heteropolymer of two or more naturally occurring amino acids. In an example, (Glu)n-cephalexin was prepared from Glu(OBut)NCA and cephalexin hydrochloride.

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L10 ANSWER 14 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
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ACCESSION NUMBER:

2002:488054 CAPLUS

DOCUMENT NUMBER:

137:52413

TITLE:

Spray dried powders for pulmonary or nasal

administration

INVENTOR(S):

Woolfe, Austen John; Zing, Xian Ming; Langford, Alan

Norton Healthcare Ltd., USA

PATENT ASSIGNEE(S): SOURCE:

U.S. Pat. Appl. Publ., 17 pp., Cont.-in-part of U.S.

Ser. No. 643,145, abandoned.

CODEN: USXXCO

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT: 2 PATENT INFORMATION:

| PATENT | NO. | KIND | DATE | API | PLICATION NO. | | DATE | |
|-------------|-------------|------|----------|-----|---------------|----|----------|---|
| | | | | | | - | | |
| US 200 | 2081266 | A1 | 20020627 | US | 2001-930109 | | 20010814 | < |
| PRIORITY AP | PLN. INFO.: | | | US | 1999-150095P | P | 19990820 | < |
| | | | | US | 2000-643145 | В2 | 20000821 | < |

A formulation for pulmonary or nasal administration comprises a mixture of particles of 2 or more drugs or excipients produced by spray drying and suitable for administration without further processing of the particles. Spherical particles 1-5 μ in size and formed directly by spray-drying with salbutamol sulfate 120 parts and ipatropium bromide 20 parts by weight were prepared The larger proportion of salbutamol acted as an agent to cover the ipatropium bromide and so prevent moisture uptake by the ipatropium bromide. The increased weight of the particle compared to the ipatropium alone gave better content uniformity of the lower dose drug. The particles were either suspended in a mixture of P134a and/or P227 with a cosolvent (EtOH) or a surfactant as appropriate in a metered dose aerosol inhaler, or were mixed with lactose as a flow aid in a metered dose dry powder inhaler, or used as received from the spray dryer in a capsule for insufflation.

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L10 ANSWER 15 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
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ACCESSION NUMBER:

2002:487374 CAPLUS

DOCUMENT NUMBER:

137:52399

TITLE:

Pharmaceutical aerosol formulations containing alkyl

polyglycoside

INVENTOR(S):

Buckton, Graham; Columbano, Angela; Grosvenor, Martin;

Wikeley, Philip

PATENT ASSIGNEE(S):

Astrazeneca Ab, Swed.

SOURCE:

PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

| PATE | NT NO. | | | KIN |) | DATE | | | APPL | ICAT | ION | NO. | | D. | ATE | | |
|------------|---------|------|-----|-----|-----|------|------|-----|------|------|------|-----|-----|-----|------|-----|---|
| WO 2 | 0020496 | 16 | | A1 | _ | 2002 | 0627 | | WO 2 | 001- | SE28 | 53 | | 2 | 0011 | 219 | < |
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| | | | | LV, | | | | | | | | | | | | | |
| | | | | RU, | | | | | | | | | | | | | |
| | UA, | UG, | US, | UZ, | VN, | YU, | ZA, | ZM, | ZW, | AM, | AZ, | BY, | KG, | KZ, | MD, | RU, | |
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| AU 2 | 0020165 | | | | | | | | | | | | | | | | < |
| EP 1 | 345591 | | | A1 | | 2003 | 0924 | | EP 2 | 001- | 2712 | 13 | | 2 | 0011 | 219 | < |
| EP 1 | 345591 | | | В1 | | 2005 | 0302 | | | | | | | | | | |
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| | | | | LV, | | | | | | | | | | | • | | |
| JP 2 | 0045162 | | | | | | | | | | 5509 | 58 | | 2 | 0011 | 219 | < |
| | 89803 | | | | | | | | | | | | | | 0011 | | |
| US 2 | 0040825 | 20 | | A1 | | 2004 | 0429 | | US 2 | 003- | 4511 | 62 | | 2 | 0031 | 125 | < |
| PRIORITY . | APPLN. | INFO | . : | | | | | | SE 2 | 000- | 4750 | | | A 2 | 0001 | 219 | < |
| | | | | | | | | | WO 2 | 001- | SE28 | 53 | Ţ | w 2 | 0011 | 219 | < |
| OTHER SOU | RCE(S): | | | MAR | TA9 | 137: | 5239 | 9 | | | | | | | | | |

The invention relates to a pharmaceutical aerosol formulation comprising a surfactant that is an alkyl polyglycoside (the average degree of polymerization of

1-4) for the administration of a drug for inhalation. Propellant HFA-134a was was dispensed chilled (at -55°) into a 400-mL can. A valve was then crimped onto the can and the propellant allowed to return to ambient temperature Beclomethasone dipropionate was weighed into a 30-mL glass vial

20 mL of surfactant (alkyl polyglycoside at 0.8 g/L) solution in water. The resultant suspension was incubated at 25° for 3 h hours, to allow adsorption of the surfactant to the surface of the drug, and to give a drug-surfactant ratio of 10 mg surfactant/g drug. The suspension was centrifuged and the particles of drug-surfactant were separated from the supernatant and dried in an oven at 50° for 24 h. This was mixed with the propellant, and the final composition contained becomethasone dipropionate and glycoside 0.2% and HFA-134a to 100%.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 16 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:449474 CAPLUS

DOCUMENT NUMBER: 137:11011

TITLE: Particulate inhalation carriers

INVENTOR(S): Buckton, Graham; Al-Hadithi, Dima; Brocchini, Stephen

PATENT ASSIGNEE(S): School of Pharmacy, University of London, UK

SOURCE: PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

and

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PATENT NO.
                       KIND DATE
                                        APPLICATION NO.
                                                               DATE
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                                          ______
                              20020613 WO 2001-GB5436
    WO 2002045682
                        A1
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            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
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                        A1
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                                          GB 2000-30074
PRIORITY APPLN. INFO.:
                                                             A 20001208 <--
                                          WO 2001-GB5436
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AB The present invention provides a particulate substrate suitable for carrying a drug for delivery, comprising a substantially crystalline core and a surface coating, wherein the particulate substrate has a proportion of amorphous character of 2% or greater by weight of particulate substrate, and a process for the production of carrier particles comprising the steps of: (a) mixing crystalline particles having an average diameter greater than 10 μm with at

least partially amorphous particles having average diams. less than 10 μm ; (b) exposing the mixture to conditions capable of inducing crystallization of the

amorphous particles for a predetd. period in order that partial crystallization takes place. The core material is selected from saccharides, most preferably lactose and the surface of the substrate is formed from the same material as the core. The drug is selected from steroids, hormones,

therapeutic proteins and peptides, $\beta\text{--}2$ agonists, bronchodilators,

corticosteroids and antihistamines.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 17 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:332011 CAPLUS

DOCUMENT NUMBER: 136:355482

TITLE: Compositions comprising a polypeptide and an active

agent

INVENTOR(S): Piccariello, Thomas; Olon, Lawrence P.; Kirk, Randall

ıΤ.

PATENT ASSIGNEE(S): New River Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 19

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PATENT NO.
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    WO 2002034237
                       A1 20020502 WO 2001-US26142 20010822 <--
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            HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
            LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
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    JP 2004523480
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P 20001114 <--
PRIORITY APPLN. INFO.:
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US 2000-247612P
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US 2000-247620P
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US 2000-247634P
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US 2000-247802P
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US 2000-247803P
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US 2000-247804P
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WO 2001-US26142
                  W 20010822 <--
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AB Claimed are compns. comprising a polypeptide and an active agent covalently attached to the polypeptide and a method for delivery of an active agent to a patient by administering the composition to the patient. The peptide is a homopolymer of a naturally occurring amino acid or a heteropolymer of two or more naturally occurring amino acids. In an example, (Glu)n-cephalexin was prepared from Glu(OBut)NCA and cephalexin hydrochloride.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 18 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:122837 CAPLUS

DOCUMENT NUMBER: 136:189346

TITLE: Medical electropowders for inhalers INVENTOR(S): Nilsson, Thomas; Nilsson, Lars-Gunnar

PATENT ASSIGNEE(S): Microdrug A.-G., Switz. SOURCE: PCT Int. Appl., 54 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

| PATENT NO | o. | K | IND | DATE | | i | APPL | ICAT: | ION I | NO. | | D. | ATE | |
|---------------|---------|-------|-------|-------|-----|-----|------|-------|-------|-----|-----|-----|------|-------|
| WO 200201 | 11803 | | A1 | 20020 | 214 | Ī | WO 2 | 001- | SE168 | 32 | | 2 | 0010 | 727 < |
| W: A | AE, AG, | AL, A | M, AT | , AU, | ΑZ, | BA, | BB, | BG, | BR, | BY, | ΒZ, | CA, | CH, | CN, |
| (| CO, CR, | CU, C | Z, DE | , DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, |
| | GM, HR, | HU, I | D, IL | , IN, | IS, | JP, | ΚE, | KG, | ΚP, | KR, | ΚZ, | LC, | LK, | LR, |
| I | LS, LT, | LU, L | V, MA | , MD, | MG, | MK, | MN, | MW, | MX, | ΜZ, | NO, | NΖ, | PL, | PT, |
| F | RO, RU, | SD, S | E, SG | , SI, | SK, | SL, | ТJ, | TM, | TR, | TT, | TZ, | UA, | UG, | US, |
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| SE 200000 | 02822 | | A | 20020 | 129 | | SE 2 | 000-: | 2822 | | | 2 | 0000 | 804 < |
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| AU 200108 | | | | | | | | | | | | | | 727 < |
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| BR 200101 | | | | | | | | | | | | | 0010 | 727 < |
| JP 200450 | | | T2 | 20040 | 226 | | JP 2 | 002- | 5171 | 35 | | 2 | 0010 | 727 < |
| PRIORITY APPL | N. INFO | . : | | | | | SE 2 | 000- | 2822 | | 1 | A 2 | 0000 | 804 < |

AB A method and a process are disclosed for preparation of medical electro-powders. The electro-powder results from prepns. of chemical and biol. substances to form electro-powders suitable for electrostatic charging and dosing for functionality in a dry powder inhaler device. electro-powder resulting from the method and process forms an active powder substance or a dry powder medical formulation with a fine particle fraction representing of the order 50 or more of the content having a size ranging between 0,5-5 μm and provides electrostatic properties with an absolute specific charge per mass after charging of the order 0.1x10-6 to $25 \times 10-6$ C/g and presenting a charge decay rate constant Q50 > 0.1 s with a tap d. of less than 0.9 g/mL and a water activity aw of less than 0.5. In the processing the active substance is a generally pharmacol. active chemical or biol. substance, for instance a polypeptide or any other corresponding substance selected alone or mixed or blended together with one or more excipients being a compound to improve electrostatic properties of the medical dry powder substance or dry powder medical formulation. Further the electro-powder may even be formed as a micro-encapsulation by coating micronized powder with the excipient in such a way that the active substance is capsulated whereby the powder electrostatic properties mainly comes from the excipient. Terbutaline sulfate, used for asthma treatment, was micronized and analyzed for particle size.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 19 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:89782 CAPLUS

DOCUMENT NUMBER: 136:139841

TITLE: A medicinal aerosol formulation containing a

particulate drug

INVENTOR(S): Adjei, Akwete L.; Cutie, Anthony J. PATENT ASSIGNEE(S): Aeropharm Technology, Inc., USA

SOURCE: PCT Int. Appl., 19 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| | PAC | CENT ! | NO. | | | KIN | D | DATE | | | APPL: | ICAT: | ION 1 | .00 | | DZ | ATE | |
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| | | | | | | | - | | | | | | | | | | | |
| | WO | 2002 | 0076 | 72 | | A2 | | 2002 | 0131 | 1 | WO 2 | 000-1 | US42 | 625 | | 20 | 0001: | 207 < |
| | WO | 2002 | 0076 | 72 | | A3 | | 2002 | 0627 | | | | | | | | | |
| | | W: | ΑE, | AG, | AL, | AM, | AT, | ΑU, | ΑZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, |
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| | ΑŲ | 2001 | 0471 | 23 | | Α5 | | 2002 | 0205 | | AU 2 | 001- | 4712 | 3 | | 21 | 0001 | 207 < |
| PRIC | RIT | APP | LN. | INFO | .: | | | | | | US 2 | 000- | 6191 | 83 | | A 20 | 0000 | 719 < |
| | | | | | | | | | | 1 | WO 2 | 000- | US42 | 625 | Ţ | W 20 | 0001 | 207 < |
| | | | | | | | | | | | | | | | | | | |

AB This invention relates to a medicinal aerosol formulation and more particularly, to a medicinal aerosol formulation containing a particulate drug, or combination of at least two particulate drugs a propellant and a stabilizing agent comprising a water addition (no data).

L10 ANSWER 20 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:780692 CAPLUS

DOCUMENT NUMBER: 135:327352

TITLE: Medicaments for treating respiratory disorders

comprising formoterol and fluticasone

INVENTOR(S):
Sanders, Mark

PATENT ASSIGNEE(S): Innovata Biomed Limited, UK

SOURCE: PCT Int. Appl., 25 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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KIND DATE APPLICATION NO.
    PATENT NO.
                      A1 20011025 WO 2001-GB1656 20010412 <--
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    WO 2001078735
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            HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
            LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
            RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
            VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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    US 2003026766
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PRIORITY APPLN. INFO.:
                                         GB 2000-9046
                                                           A 20000413 <--
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W 20010412 <--
                                         GB 2001-5967
                                         WO 2001-GB1656
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AB There is described a method of treating or alleviating a respiratory disorder which comprises administering an effective amount of the active ingredients formoterol, or a pharmaceutically acceptable salt thereof, and fluticasone, or a pharmaceutically acceptable ester thereof, sep., sequentially or simultaneously, provided that the active ingredients comprise sep. compns. There is also described a dry powder inhaler containing formoterol, or a pharmaceutically acceptable salt thereof, and fluticasone, or a pharmaceutically acceptable ester thereof, which may be administered sep., sequentially or simultaneously, provided that they are administered as sep. compns. Inhibition of Sephadex-induced edema by formoterol and fluticasone in the rats' lungs were studied.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 21 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:713820 CAPLUS

DOCUMENT NUMBER: 135:262267

TITLE: Preparation of pharmaceutical powder agglomerates

INVENTOR(S): Yang, Tsong-toh
PATENT ASSIGNEE(S): Schering Corp., USA

SOURCE: U.S. Pat. Appl. Publ., 18 pp., Cont. of U.S. Ser. No.

42,973, abandoned.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| | | | | |
| US 2001024641
US 6503537 | A1
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US 2001051187
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PRIORITY APPLN. INFO.:
                                              US 1997-41055P
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                                                                  A1 20021219
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The invention relates to a method of producing an agglomerate of drug and solid binder. The process involves producing individual agglomerate particles and then converting the convertible amorphous content of same, following agglomeration, by the application of, e.g., moisture. Agglomerates capable of conversion as well as the finished agglomerates and oral and nasal dosing systems including same are also contemplated. The process produces agglomerates which are rugged but which will produce an acceptable fine particle fraction during dosing. Micronization of mometasone and lactose were carried out at 20% RH and 21°. The powders were blended and the bulk d. was determined

L10 ANSWER 22 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:713112 CAPLUS

DOCUMENT NUMBER: 135:262244

TITLE: Stabilized dry powder formulations containing

formoterol

INVENTOR(S): Ward, Gary

PATENT ASSIGNEE(S): Dura Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 9 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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PATENT NO.
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    WO 2001070198
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PRIORITY APPLN. INFO.:
                                       US 2000-528519
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AB A dry powder formulation for treatment of pulmonary conditions, via inhalation, includes an effective amount of formoterol or a salt or solvate thereof, in a dry powder form, an effective amount of fluticasone, in a dry powder form, and an excipient. A method for preparing a phys. stable dry powder formulation for inhalation includes the steps of micronizing a first active polar drug, a second active non-polar drug, and a polar excipient. The second non-polar active drug is first blended with the excipient to form an intermediate mixture The intermediate mixture is then blended with the first active polar drug. The increased separation of the polar drug and polar excipient stabilizes the formulation. In preparing the formulation, formoterol fumarate dihydrate and fluticasone are micronized and mixed in the proportions of 1:2 to 1:100. The fluticasone was blended with an excipient mixture and filled into a powder storage device, such as

blister disks or cassettes.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 23 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:564809 CAPLUS

DOCUMENT NUMBER: 135:142240

TITLE: A method of administering a medicinal aerosol

formulation

INVENTOR(S): Adjei, Akwete L.; Stefanos, Simon; Zhu, Yaping

PATENT ASSIGNEE(S): Aeropharm Technology, Inc., USA

SOURCE: PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

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PATENT NO.
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    WO 2001054664
                      A1 20010802 WO 2001-US116
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PRIORITY APPLN. INFO.:
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                                        US 2000-702194
                                                          A 19980922 <--
                                        US 1998-158369
                                        WO 2001-US116
                                                          W 20010102 <--
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AB A method of treating in a human or animal a condition capable of treatment by oral or nasal inhalation has been found. The method comprises administering a medicinal aerosol formulation comprising a selected medicament under conditions where the amount of the selected drug delivered to the site of action, e.g. the lungs, is maximized. After intrapulmonary and i.v. administration of 7.5, and 5.0 $\mu g/kg$ amylin, resp., to rabbits the half life of the drug in the body was 26.38 and 17.17 min, resp.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 24 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:283949 CAPLUS

DOCUMENT NUMBER: 134:311218

TITLE: Synthesis and use of heterocyclic sodium/proton

exchange inhibitors

INVENTOR(S): Ahmad, Saleem; Wu, Shung C.; O'Neil, Steven V.; Ngu,

Khehyong; Atwal, Karnail S.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 221 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:]

PATENT INFORMATION:

| PA' | TENT NO. | | | KIN | D | DATE | | i | | ICAT | | | | D | ATE | |
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| EP | 1224183 | | | A2 | | 2002 | 0724 |] | EP 2 | 000- | 9687 | 23 | | 2 | 0001 | 002 < |
| EP | 1224183 | | | В1 | | 2005 | 1228 | | | | | | | | | |
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| JP | 20035273 | 31 | | T2 | | 2003 | 0916 | , | | 001- | | | | | 0001 | 002 < |
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| OTHER SO | OURCE(S): | | | MAR | PAT | 134: | 3112: | 18 | | | | | | | | |

Compds. of formula I [wherein; n is 1-5; X is N or CR5, where R5 is H, halo, alkenyl, alkynyl, alkoxy, alkyl, aryl or heteroaryl; Z is a heteroaryl group; R1 is H, alk(en)(yn)yl, alk(enyl)(ynyl)oxy, (aryl or alkyl) 3Si, cycloalk(en) yl, (aryl) amino, aryl(alkyl), cycloheteroaryl, etc.; R2, R3 and R4 are any of the groups set out for R1 and optionally substituted with 1 to 5 substituents which may be the same or different and when X is N, R1 is preferably aryl or heteroaryl] are claimed. Several hundred examples are disclosed. Synthesis of II proceeds via cyclopropanation of the cinnamate derived from the olefination between 3,5-dichlorobenzaldehyde and t-butyldiethylphosphonoacetate. The intermediate tert-Bu ester is converted to the corresponding α -chloroketone and reacted with acetyl guanidine to provide II in a total of 5 steps. Compds. I are said to be sodium/proton exchange inhibitors (NHE). Pharmaceutical combinations are claimed using I and certain antihypertensive agents, β -adrenergic agonists, hypolipidemic agents, antidiabetic agents, antiobesity agents, etc. Compds. I are useful as antianginal and cardioprotective agents and provide a method for preventing or treating angina pectoris, cardiac dysfunction, myocardial necrosis, and arrhythmia.

L10 ANSWER 25 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:152458 CAPLUS

DOCUMENT NUMBER: 134:183526

TITLE: Method to produce powders for pulmonary or nasal

administration

INVENTOR(S): Woolfe, Austen John; Zeng, Xian Ming; Langford, Alan

PATENT ASSIGNEE(S): Norton Healthcare Ltd., UK

SOURCE: PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PAT | PATENT NO. | | | | | | DATE | | | APPL | ICAT | ION | NO. | | D | ATE | | |
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| CA | 2382 | 216 | | | AA | | 2001 | 0301 | 4 | CA 2 | 000- | 2382 | 216 | | 2 | 0000 | 821 < | |
| JP | 2003 | 5266 | 29 | | Т2 | | 2003 | 0909 | | JP 2 | 001- | 5180 | 24 | | 2 | 0000 | 821 < | |
| PRIORITY | WO 2001013885 | | | | | | | | ! | US 1 | 999- | 1500 | 95P | | P 1 | 9990 | 820 < | |
| | | | | | | | | | 1 | WO 2 | 000- | GB32 | 30 | 1 | W 2 | 0000 | 821 < | |

AB A pharmaceutical formulation comprises a mixture of two or more drugs optionally together with one or more excipients, the mixture being formed by the steps of: co-crystallization or co-precipitation of the drugs followed by micronization or milling to produce a uniform powder having a particle size and other properties suitable for formulation for pulmonary or nasal administration. An aqueous solution of 5% salbutamol sulfate:ipratropium bromide

(10:1) mixture was prepared and was spray dried. The diameter of particles was less than 3 $\mu\text{m}.$

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 26 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:60975 CAPLUS

DOCUMENT NUMBER: 134:305151

TITLE: Onset of bronchodilation of budesonide/formoterol vs.

salmeterol/fluticasone in single inhalers

AUTHOR(S): Palmqvist, Mona; Arvidsson, Peter; Beckman, Ola;

Peterson, Stefan; Lotvall, Jan

CORPORATE SOURCE: Lung Pharmacology Group, Department of Respiratory,

Medicine and Allergology, Goteborg University,

Goeteborg, SE-413 46, Swed.

SOURCE: Pulmonary Pharmacology & Therapeutics (2001

), 14(1), 29-34

CODEN: PPTHFJ; ISSN: 1094-5539

PUBLISHER: Academic Press

DOCUMENT TYPE: Journal LANGUAGE: English

AB Combinations of inhaled glucocorticoids and long-acting β 2-agonists in the same inhaler device have become available in recent years. In this double-blind, randomized, placebo-controlled and crossover study we have

evaluated the onset of action of budesonide and formoterol in a single inhaler (Symbicort Turbuhaler) and that of the fixed combination of salmeterol and fluticasone (Seretide Diskus). Thirty patients with a mean FEV1of 2.54 l (range: 1.48-4.28) and a mean inclusion reversibility in FEVlof 19.1% were included. Single doses of budesonide/formoterol 160/4.5 μg and 2+ (160/4.5) μg , salmeterol/fluticasone 50/250 μg , or placebo were given. Serial measurements of FEV1were performed over 3 h. The combination of one or two inhalations of budesonide/formoterol showed a faster onset of action than salmeterol/fluticasone, both evaluated as mean FEV1at 3 min (2.74, 2.75) and 2.56 1 resp. P<0.001 for both doses of budesonide/formoterol), or as average FEVlfrom 0 to 15 min (2.80, 2.83 and 2.67 l resp. P<0.001 for both doses of budesonide/formoterol). For placebo, mean FEVlat 3 min was 2.46 l, and the average FEV1at 0-15 min was 2.50 l. Furthermore, budesonide/formoterol at both doses resulted in higher FEV1than salmeterol/fluticasone at 3 h. We conclude that the combination of budesonide/formoterol has a faster onset of action than salmeterol/fluticasone.

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 27 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:401693 CAPLUS

DOCUMENT NUMBER: 133:34456

TITLE: A medicinal aerosol formulation INVENTOR(S): Adjei, Akwete; Cutie, Anthony J.

PATENT ASSIGNEE(S): Aeropharm Technology Incorporated, USA

SOURCE: PCT Int. Appl., 15 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

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PATENT NO.
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       WO 2000033892
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PRIORITY APPLN. INFO.:
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AB This invention relates to a medicinal aerosol formulation and more particularly, to a medicinal aerosol formulation containing a particulate drug, a propellant and a stabilizing agent comprising a water addition Generally the formulations can be prepared by combining (1) the drug, e.g. triamcinolone acetonide, in an amount sufficient to provide a plurality of therapeutically EDs, (2) the water addition in an amount effective to stabilize each of the formulations, (3) the propellant in an amount sufficient to propel a plurality of doses from an aerosol canister, and (4) any further optional components, e.g. ethanol as a cosolvent and dispersing the components.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS

L10 ANSWER 28 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:351357 CAPLUS

DOCUMENT NUMBER: 133:9107

TITLE: Dry powder for inhalation

INVENTOR(S): Keller, Manfred; Mueller-Walz, Rudi

PATENT ASSIGNEE(S): Skyepharma A.-G., Switz. SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PAT | TENT NO. | | | KIN |) | DATE | | | | LICAT | | | | | ATE | | |
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| WO | 200002897 | 9 | | A1 | | 2000 | 0525 | | | | | | | | 9991 | 110 | < |
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| CA | 2347856 | | | AA | | 2000 | 0525 | | CA 1 | 1999- | 2347 | 856 | | 1 | 9991 | 110 | < |
| AU | 9964578 | | | A1 | | 2000 | | | AU 1 | 1999- | 6457 | 8 | | 1 | 9991 | 110 | < |
| AU | 9964578
756852 | | | В2 | | 2003 | 0123 | | | | | | | | | | |
| ΕP | 1131059 | | | A1 | | 2001 | 0912 | | EP 1 | 1999- | 9522 | 12 | | 1 | 9991 | 110 | < |
| | 1131059 | | | В1 | | 2003 | 0305 | | | | | | | | | | |
| | R: AT, | | | | | | | | GR, | , IT, | LI, | LU, | NL, | SE, | MC, | PT, | |
| | TE | FT | R∩ | | | | | | | | | | | | | | |
| JP | 200252949
511527 | 8 | | Т2 | | 2002 | 0910 | | JP 2 | 2000- | 5820 | 27 | | 1 | 9991 | 110 | < |
| NZ | 511527 | | | Α | | 2002 | 1025 | | NZ 1 | 1999- | 5115 | 27 | | 1 | 9991 | 110 | < |
| EΡ | 1283036 | | | A1 | | 2003 | 0212 | | EP 2 | 2002- | 2579 | 6 | | 1 | 9991 | 110 | < |
| | R: AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | , IT, | LI, | LU, | NL, | SE, | MC, | PT, | |
| | IE, | FI, | CY | | | | | | | | | | | | | | |
| AT | 233550 | | | Ε | | 2003 | 0315 | | | 1999- | | | | | 9991 | 110 | < |
| PT | 1131059
2192866 | | | \mathbf{T} | | 2003 | 0731 | | | 1999- | | | | | 9991 | 110 | < |
| ES | 2192866 | | | Т3 | | 2003 | 1016 | | ES 1 | 1999- | 9522 | 12 | | 1 | 9991 | 110 | < |
| RU | 2221552 | | | C2 | | 2004 | 0120 | | RU 2 | 2001- | 1160 | 74 | | 1 | 9991 | 110 | < |
| SK | 284889 | | | В6 | | 2006 | 0202 | | SK 2 | 2001- | 632 | | | 1 | 9991 | 110 | < |
| zA | 200100362 | :7 | | Α | | 2001 | 0509 | | ZA 2 | 2001-
2001- | 3627 | | | 2 | 0010 | 504 | < |
| NO | 200100234 | 6 | | A | | 2001 | 0626 | | NO 2 | 2001- | 2346 | | | 2 | 0010 | 511 | < |
| | 6645466 | | | В1 | | 2003 | | | US 2 | 2001- | 8310 | 11 | | 2 | 0010 | 809 | < |
| US | 200420261 | .6 | | A1 | | 2004 | 1014 | | US 2 | 2003- | 6289 | 65 | | 2 | 0030 | 728 | < |
| ORITY | Y APPLN. I | NFO. | : | | | | | | CH 1 | 1998- | 2286 | | | A 1 | 9981 | 113 | < |
| | | | | | | | | | EP 1 | 1999- | 9522 | 12 | | A3 1 | 9991 | 110 | < |
| | | | | | | | | | WO I | 1999-
1999- | CH52 | 8 | | W 1 | 9991 | 110 | < |
| | | | | | | | | | US 2 | 2001- | 8310 | 11 | | A1 2 | 0010 | 809 | < |
| Th- | moisture | rae | iet: | ance | Ωf | dry | nowde | ar f | a rmi | 11 a + i | one . | for | inha | 1 2+ 4 | 00 | which | h |

The moisture resistance of dry powder formulations for inhalation, which contain a pharmaceutically inert carrier of noninhalable particle size and a finely divided pharmaceutical substance of inhalable particle size, is improved and the storage stability of the formulations is increased by adding Mg stearate to minimize the deleterious effect of moisture on fine particle dose and fine particle fraction even under relatively extreme temperature and humidity conditions. Thus, 198.46 g lactose-H2O (particle size $100\% < 200 \ \mu m$, $50\% < 125 \ \mu m$, $10\% < 75 \ \mu m$) was mixed with 1 g sieved Mg stearate, then with 0.54 g formoterol fumarate-2H2O, and loaded into a multidose dry powder inhaler.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 29 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:209955 CAPLUS

DOCUMENT NUMBER: 132:241977

TITLE: Medicinal aerosol formulation INVENTOR(S): Adjei, Akwete; Cutie, Anthony J.

PATENT ASSIGNEE(S): Aeropharm Technology Incorporated, USA

SOURCE: PCT Int. Appl., 17 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| | | rent | | | | KIN | D | DATE | | | | | ION | | | D. | ATE | | |
|------|-----|-------|------|-------|------|-------|------|------|-----------------|------|-------|-------|------|-------|------|------|-------|-----|---|
| | | 2000 | | | | A1 | _ | 2000 | 0330 | 1 | | | | | | 1 | 9990 | 917 | < |
| | | ₩: | ΑE, | AL, | AM, | AT, | ΑU, | ΑZ, | BA, | BB, | BG, | BR, | BY, | CA, | CH, | CN, | CU, | CZ, | |
| | | | DE, | DK, | EE, | ES, | FI, | GB, | GD, | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | |
| | | | JP, | KE, | KG, | ΚP, | KR, | ΚZ, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | MD, | MG, | MK, | |
| | | | MN, | MW, | MX, | NO, | ΝZ, | PL, | PT, | RO, | RU, | SD, | SE, | SG, | SI, | SK, | SL, | ТJ, | |
| | | | TM, | TR, | TT, | UA, | UG, | UZ, | VN, | YU, | ZA, | ZW, | AM, | ΑZ, | BY, | KG, | ΚZ, | MD, | |
| | | | RU, | ТJ, | TM | | | | | | | | | | | | | | |
| | | RW: | | | | | | SD, | | | | | | | | | | | |
| | | | DK, | ES, | FI, | FR, | GB, | GR, | ΙE, | ΙT, | LU, | MC, | NL, | PT, | SE, | BF, | ВJ, | CF, | |
| | | | CG, | CI, | CM, | GA, | GN, | G₩, | \mathtt{ML} , | MR, | ΝE, | SN, | TD, | TG | | | | | |
| | | 6136 | | | | | | 2000 | 1024 | 1 | US 19 | 998- | 1583 | 69 | | 1 | 9980 | 922 | < |
| | | 6136 | | | | | | 2002 | | | | | | | | | | | |
| | | 2344 | | | | | | 2000 | | | | | | | | | 9990 | 917 | < |
| | | 9959 | | | | | | 2000 | 0410 | | AU 19 | 999- | 5926 | 7 | | 1 | 9990: | 917 | < |
| | | 7455 | | | | | | 2002 | | | | | | | | | | | |
| | EΡ | 1123 | | | | | | 2001 | | | | | | | | | | | |
| | | R: | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, | |
| | | | | | | | | RO | | | | | | | | | | | |
| | | 2002 | | | | | | | | 1 | JP 20 | 000- | 5737 | 75 | | 1 | 9990 | 917 | < |
| PRIO | RIT | Y APP | LN. | INFO | .: | | | | | 1 | US 19 | 998- | 1583 | 69 | i | A 1 | 9980 | 922 | < |
| | | | | | | | | | | 1 | WO 1 | 999-1 | US21 | 510 | 1 | W 1 | 9990 | 917 | < |
| AB | Th | ls in | vent | ion : | rela | tes 1 | to a | med | icina | al a | eros | ol fo | ormu | latio | on a | nd m | ore | | |

This invention relates to a medicinal aerosol formulation and more particularly, to a medicinal aerosol formulation containing a particulate drug, a propellant, and stabilizing agent selected from an amino acid, an amino acid derivative and a mixture of the foregoing. An example amino acid stabilizer is glycine, an example medicament is albuterol, and example propellant is 1,1,1,2-tetrafluoroethane.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 30 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2000:116874 CAPLUS

DOCUMENT NUMBER:

132:156861

TITLE:

Medicinal aerosol formulations

INVENTOR(S):

Keller, Manfred; Herzog, Kurt; Mueller-Walz, Rudi;

Kraus, Holger

PATENT ASSIGNEE(S):

Jago Research A.-G., Switz.

SOURCE:

PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent German

LANGUAGE:

FAMILY ACC. NUM. COUNT:

| PAT | ENT | NO. | | | KINI |) | DATE | | APE | PLICAT | ION I | NO. | | DA | ATE | | |
|-----|------|------|-----|-----|------|-----|------|------|--------|--------|-------|-----|-----|-----|-------|-----|---|
| | | | | | | - | | | | | | | | | | | |
| WO | 2000 | 0075 | 67 | | A1 | | 2000 | 0217 | WO | 1999- | CH360 | 0 | | 19 | 990 | 302 | < |
| | W: | ΑU, | CA, | CN, | IN, | JΡ, | NO, | NZ, | US, ZA | A. | | | | | | | |
| | RW: | AT, | BE, | CH, | CY, | DE, | DK, | ES, | FI, FF | R, GB, | GR, | ΙE, | IT, | LU, | MC, | NL, | |
| | | PT, | SE | | | | | | | | | | | | | | |
| CA | 2338 | 680 | | | AA | | 2000 | 0217 | CA | 1999- | 2338 | 680 | | 19 | 9908 | 302 | < |
| ΑU | 9948 | 939 | | | A1 | | 2000 | 0228 | AU | 1999- | 4893 | 9 | | 19 | 9908 | 302 | < |
| ΑU | 7496 | 97 | | | В2 | | 2002 | 0704 | | | | | | | | | |
| EΡ | 1102 | 579 | | | A1 | | 2001 | 0530 | EP | 1999- | 9325 | 99 | | 10 | 99908 | 302 | < |

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EP 1102579
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                                        20030319
           R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                IE, SI, LT, LV, FI, RO
                          Т2
      JP 2002522374
                                        20020723
                                                      JP 2000-563253

      JP 2002522374
      TZ
      Z0020723
      ST 2003 30325

      NZ 509489
      A
      20021025
      NZ 1999-509489

      AT 234604
      E
      20030415
      AT 1999-932599

      PT 1102579
      T
      20030731
      PT 1999-932599

      ES 2193726
      T3
      20031101
      ES 1999-932599

      ZA 2001000569
      A
      20010730
      ZA 2001-569

      NO 2001000531
      A
      20010131
      NO 2001-531

      US 6475467
      B1
      20021105
      US 2001-744798

                                                                                   19990802 <--
                                                                                  19990802 <--
                                                                                  19990802 <--
                                                                                  19990802 <--
                                                                                  19990802 <--
                                                                                  20010119 <--
                                                                                  20010131 <--
                                                                                  20010420 <--
                                                      CH 1998-1633 A 19980804 <--
WO 1999-CH360 W 19990802 <--
PRIORITY APPLN. INFO.:
      Pharmaceutically acceptable solid salts containing cromoglycic acid and/or
AB
      nedocromil as a vehicle, at concns. which are not therapeutically and
      prophylactically active, are used in suspension aerosol formulations of
      pharmaceutical active ingredients in fluoroalkane propellants to improve
      the dispersion characteristics, increase the phys. and chemical stability of
      moisture-sensitive active ingredients, allow for accurate dosing of active
      ingredients even at low dosage, and generally eliminate the need for
      surface-active agents. Thus, 6 g micronized formoterol fumarate and 12 g
      micronized di-Na cromoglycate were mixed in an evacuated vessel with
      fluoroalkane HFA 134a 35, HFA 227 35 kg, and EtOH 3 weight%, and the
      suspension was homogenized and dispensed into Al vials equipped with
      dosing valves.
REFERENCE COUNT:
                                      THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
                                      RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
L10 ANSWER 31 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:98270 CAPLUS
DOCUMENT NUMBER:
                               132:141967
                              Medicinal aerosol formulations
TITLE:
INVENTOR(S):
                               Keller, Manfred; Herzog, Kurt; Mueller-Walz, Rudi;
                               Kraus, Holger
PATENT ASSIGNEE(S):
                               Jago Research A.-G., Switz.
SOURCE:
                               PCT Int. Appl., 41 pp.
                               CODEN: PIXXD2
DOCUMENT TYPE:
                               Patent
                               German
LANGUAGE:
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
      PATENT NO.
                              KIND DATE
                                                    APPLICATION NO.
                                                                                DATE
                             WO 2000006121
                              A1 20000210 WO 1999-CH337
                                                                                  19990722 <--
           W: AU, CA, CN, IN, JP, NO, NZ, US, ZA
           RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
                PT, SE
                                        20000210
      CA 2338753
                               AA
                                                      CA 1999-2338753
                                                                                   19990722 <--
     AU 9945989
                              A1
                                                      AU 1999-45989
                                        20000221
                                                                                   19990722 <--
      AU 748867
                               B2
                                        20020613
                              A1 20010523
B1 20041124
      EP 1100465
                                                    EP 1999-928996
                                                                                  19990722 <--
      EP 1100465
           R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                IE, FI
     JP 2002521424 T2 2002U/16
NZ 509328 A 20021126
AT 283033 E 20041215
ES 2234266 T3 20050616
ZA 2001000408 A 20010727
NO 2001000391 A 20010323
US 6585958 B1 20030701
                                                      JP 2000-561978
                                                                                   19990722 <--
                                                      NZ 1999-509328
                                                                                  19990722 <--
                                                      AT 1999-928996
                                                                                  19990722 <--
                                                      ES 1999-928996
                                                                                  19990722 <--
                                                      ZA 2001-408
NO 2001-391
                                                                                   20010115 <--
                                                     NO 2001-391 20010123 <--

US 2001-744379 20010413 <--

CH 1998-1565 A 19980724 <--

WO 1999-CH337 W 19990722 <--
                                                                                   20010123 <--
```

PRIORITY APPLN. INFO.:

AB A compression-fluidized propellant mixture for aerosols, containing N2O and a C1-3 hydrofluoroalkane, especially 1,1,1,2-tetrafluoroethane and/or 1,1,1,2,3,3,3-heptafluoropropane, improves the wetting properties of pharmaceutical active ingredients so that the difficulties associated with the use of hydrofluoroalkanes in the preparation of suspension and solution aerosols can be overcome and improved medicinal aerosol formulations can be obtained. Using N2O, it is also possible to influence pressure and thus particle size distribution in a targeted manner and to improve the storage stability of oxidation-sensitive active ingredients by displacement of O2 out of the hydrofluoroalkanes. If desired the propellant mixture can also contain CO2. Thus, 8.5 kg HFA 227 containing 3 weight% EtOH was gassed with

N2O, pressurized to 5 bar at 20°, and added to 100 g di-Na cromoglycate in an evacuated vessel. After homogenizing, the suspension was dispensed into Al vials with dosing valves.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 32 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:96087 CAPLUS

DOCUMENT NUMBER: 132:141964

TITLE: Two-piece capsule for pharmaceutical preparations for

dry powder inhalers

INVENTOR(S): Hochrainer, Dieter; Eckert, Josef

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

SOURCE: Ger. Offen., 10 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

| PATENT NO. | KIND DATE | APPLICATION NO. | DATE |
|---|--|---|--------------------------|
| DE 19835346
CA 2338323
WO 2000007572
WO 2000007572 | A1 20000210
AA 20000217
A2 20000217 | WO 1999-EP5614 | 19990803 < |
| W: AU, BG, BR,
NO, NZ, PL,
BY, KG, KZ,
RW: AT, BE, CH, | CA, CN, CZ, EE, RO, SG, SI, SK, MD, RU, TJ, TM | HU, ID, IL, IN, JP, KR, TR, UA, US, UZ, VN, YU, FI, FR, GB, GR, IE, IT, | ZA, AM, AZ, |
| PT, SE
AU 9957304
AU 763266 | A1 20000228
B2 20030717 | | |
| BR 9912748
EP 1100474 | Δ 20010515 | BR 1999-12748
EP 1999-944325 | 19990803 <
19990803 < |
| | DE, DK, ES, FR,
LV, FI, RO | GB, GR, IT, LI, LU, NL, | SE, MC, PT, |
| TR 200100355
EE 200100073 | T2 20010621
A 20020617 | TR 2001-200100355
EE 2001-73 | 19990803 <
19990803 < |
| EE 4451
JP 2002522378
AT 220542 | E 20020815 | JP 2000-563258
AT 1999-944325 | 19990803 < |
| PT 1100474
ES 2180325
SK 283568 | T3 20030201 | PT 1999-944325
ES 1999-944325 | 19990803 < |
| NZ 509977
TW 221420 | A 20031128 | SK 2001-169
NZ 1999-509977
TW 1999-88113240 | 19990803 < |
| BG 105189
BG 64115 | A 20010731
B1 20040130 | BG 2001-105189 | 20010126 < |
| ZA 2001000796
NO 2001000535 | A 20020529
A 20010131 | | 20010129 <
20010131 < |

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US 2001008637 A1 20010719 US 2001-800647 20010307 <--
HK 1037975 A1 20041210 HK 2001-108874 20011219 <--
US 2004131668 A1 20040708 US 2003-740225 20031218 <--
PRIORITY APPLN. INFO.:

DE 1998-19835346 A 19980805 <--
US 1998-113214P P 19981222 <--
US 1999-365912 A1 19990803 <--
WO 1999-EP5614 W 19990803 <--
US 2001-800647 A1 20010307 <--
```

AB Capsules for pharmaceutical prepns. for use in dry powder inhalers with increased drug safety consist of water-insol., hydrophobic plastics which do not substantially affect the pharmaceutical quality of the contents, but improve their useful life and/or the geog. range of their use (especially with regard to humidity). The capsules have a Shore hardness of 65-73, such that during opening or puncture of the capsule, no capsule fragments are produced which could be inhaled, and that the capsule cannot spontaneously reseal after opening or puncture. They can withstand a force of ≤ 15 N in all directions during manufacture, filling, packing, and transport. The capsules have a permeability for water vapor of ≤ 1.3 + 10-14 kg/(m2 s Pa).

L10 ANSWER 33 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:763852 CAPLUS

DOCUMENT NUMBER: 132:15622

TITLE: Tightly-compacted solid medicament stock for

inhalation delivery

INVENTOR(S): Fleischer, Wolfgang; Reimer, Karen

PATENT ASSIGNEE(S): Euroceltique S.A., Luxembourg

SOURCE: PCT Int. Appl., 22 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

| PA: | FENT | | | | KIN | | DATE | | | APPI | ICAT | ION | NO. | | D | ATE | | |
|-------|-------|------|------|-----|-----|-----|------|------|-----|----------------------|-------------------------|----------------------|----------------|-----|-----------------|----------------------|-------------------|----|
| WO | 9961 | | | | | | 1999 | 1202 | 1 | WO 1 | 999- | EP36 | 80 | | 1 | 9990 | 527 | < |
| | W: | AE, | AL, | AM, | AT, | ΑU, | AZ, | BA, | ВВ, | BG, | BR, | BY, | CA, | CH, | CN, | CU, | CZ, | |
| | | | | | | | | | | | GM, | | | | | | | |
| | | | | | | | | | | | LS, | | | | | | | |
| | | | | | | | | | | | SD, | | | | | | | |
| | | | | | | | | | | | ZA, | | • | | · | • | · | |
| | RW: | | | | | | | | | | ZW, | | BE, | CH, | CY, | DE, | DK, | |
| | | | | | | | | | | | NL, | | | | - | | | |
| | | CI, | CM, | GA, | GN, | GW, | ML, | MR, | NE, | SN, | TD, | TG | | | | • | - | |
| CA | 2332 | | | | | | | | | | 999- | | 369 | | 1 | 9990 | 527 | < |
| AU | 9942 | 667 | | | | | | | | | 999- | | | | | 9990 | 527 | < |
| AU | 7478 | 77 | | | В2 | | 2002 | 0530 | | | | | | | | | | |
| BR | 9911 | 070 | | | A | | 2001 | 0206 | | BR 1 | 999- | 1107 | 0 | | 1 | 9990 | 527 | < |
| ΕP | 1083 | 886 | | | A1 | | 2001 | 0321 | | EP 1 | 999- | 9532 | 85 | | 1 | 9990 | 527 | < |
| EP | 1083 | 886 | | | В1 | | 2003 | 0402 | | | | | | | | | | |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, | |
| | | ΙE, | | | | | | | | | | | | | | | | |
| DE | 2992 | 3766 | | | U1 | | 2001 | 0712 | | DE 1 | 999- | 2992 | 3766 | | 1 | 9990 | 527 | < |
| JP | 2002 | 5162 | 69 | | Т2 | | 2002 | 0604 | | JP 2 | 000- | 5504 | 63 | | 1 | 9990 | 527 | < |
| AT | 2358 | 95 | | | Ē | | 2003 | 0415 | | AT 1 | 999- | 9532 | 85 | | 1 | 9990 | 527 | < |
| RU | 2202 | 340 | | | C2 | | 2003 | 0420 | | RU 2 | 000- | 1316 | 95 | | 1 | 9990 | 527 | < |
| AT | 3194 | 27 | | | E | | 2006 | 0315 | | AT 1 | 999- | 9264 | 46 | | 1 | 9990 | 527 | < |
| IORIT | Y APP | LN. | INFO | .: | | | | | 1 | US 1 | 998- | 8689 | 5 P | | P 1 | 9980 | 527 | < |
| | | | | | | | | | | EP 1 | 999- | 9532 | 85 | | A 1 | 9990 | 527 | < |
| | | | | | | | | | 1 | WO 1 | 999- | EP36 | 80 | | W 1 | 9990 | 527 | < |
| AT | 3194 | 27 | | | | | | | 1 | AT 1
US 1
EP 1 | .999-
.998-
.999- | 9264
8689
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5P
85 | | 1
P 1
A 1 | 9990
9980
9990 | 527
527
527 | <· |

AB A drug delivery system comprises a tightly-compacted solid medicament stock having an isotropic solid state structure, containing an active agent. The stock is suitable for the generation of inhalable particles

containing the active agent. The active agent is associated with a particulate carrier material, preferably liposomes. Suitable active agents are $\beta 2\text{-sympathomimetics}$, corticosteroids, anticholinergics, inflammation inhibitors and antiseptics.

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 34 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:635641 CAPLUS

DOCUMENT NUMBER: 129:265477

TITLE: Preparation of powder agglomerates of drugs and solid

binders

INVENTOR(S): Yang, Tsong-toh
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PA' | rent | | | | | | DATE | | | | LICAT | ION | NO. | | D | ATE | | |
|------|-------|----------------------------|------|------------|-------------------|------------|--------------------|--------------------|------------|--------------------|----------------------------------|------------|------------|------------|------------|------------|------------|---------------|
| WO | | 193
AL,
ID,
MX, | AM, | AU,
IS, | A1
AZ,
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KZ, | BR,
LC, | WO :
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, CA,
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LT, | CZ,
LV, | EE,
MD, | GE,
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MN, | (|
| • | RW: | FR, | GB, | GR, | ΙE, | ΙT, | | MC, | NL, | | , AT,
, SE, | | | | | | | |
| CA | 2282 | 360 | | | 7\7\ | | 1998 | | | CA : | 1998- | 2282 | 360 | | 1 | 9980 | 316 < | (|
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.868
.378
.83 | | | С | | 2004 | | | | | | | | | | | |
| CA | 2481 | .868 | | | AA | | 1998 | 0924 | 1 | CA : | 1998-
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| AU | 9865 | 378 | | | A1 | | 1998 | 1012 | | AU : | 1998- | 6537 | 8 | | 1 | 9980 | 316 < | (- - |
| AU | 7417 | 83 | | | В2 | | 2001 | 1206 | | | | | | | | | | |
| EΡ | 9698 | 16 | | | A1 | | | | | EP : | 1998- | 9114: | 23 | | 1 | 9980 | 316 < | (|
| EP | | 16 | | | | | 2004 | | | | | | | | | | | |
| | R: | | | | | DK, | ES, | FR, | GB, | GR, | , IT, | LI, | LU, | NL, | SE, | PT, | IE, | |
| | 0000 | | | | RO | | | | | | | | | | | | | |
| | | | | | | | | | | | 1998- | | | | | | | |
| NZ | 33/4 | 43 | | | A | | 2001 | 042/ | | NZ . | 1998- | 3374 | 43 | | 1 | 9980 | 316 < | (|
| EP | | 721 | | | | | | | | | 2003- | | | | | | | < |
| | ĸ: | | | FI, | | DK, | ES, | FR, | GB, | GR, | , IT, | Ll, | LU, | NL, | SE, | PT, | IE, | |
| CN | 1552 | | ъ∨, | гт, | NO. | | 2004 | 1200 | | CNI ' | 2004 | 1002 | 2204 | | 1 | 0000 | 316 < | _ |
| | 2846 | | | | F | | 2004 | | | ייית ע
ער איז | 2004 - | 0114 | 2204 | | 1 | | | |
| PT | 9698 | 16 | | | Ϋ́ | | 2005 | | | DT . | 1998 -
1998- | 9114 | 23 | | 1 | 9900 | 316 < | ` |
| ES | 2234 | 102 | | | A
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T3 | | 2005 | | | ES 1 | 1998- | 9114 | 23 | | 1 | 9980 | 316 < | ` |
| | | 60 | | | B6 | | 2005 | | | CZ : | 1999- | 3233 | | | 1 | 9980 | 316 < | `
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| | 2849 | | | | В6 | | 2006 | | | SK T | 1998-
1998-
1999-
1999- | 1280 | | | 1 | 9980 | 316 < | `
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| | | 254 | | | | | 1998 | | | ZA : | 1998- | 2254 | | | 1 | 9980 | 317 < | (|
| | 2217 | | | | В1 | | 2004 | | | TW : | 1998-
1999- | 8710 | 3951 | | 1 | 9980 | 317 < | ·
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| NO | 9904 | 519 | | | Α | | 1999 | 1119 | | NO : | 1999- | 4519 | | | 1 | 9990 | 917 < | (- - |
| HK | 1021 | 323 | | | A1 | | 2005 | | | HK 2 | 2000- | 1002 | 33 | | 2 | 0000 | 114 < | (|
| ORIT | Y APP | LN. | INFO | .: | | | | | | | 1997- | | | | | | | |
| | | | | | | | | | | | 1998- | | | | | | | |
| | | | | | | | | | | | 1998- | | | | A3 1 | 9980 | 316 < | (|
| | | | | | | | | | | | 1998- | US37: | | | | | 316 < | (|

AB A method of producing an agglomerate of drug and solid binder is disclosed. The process involves producing individual agglomerate particles and then converting the convertible amorphous content of same, following agglomeration, by the application of, for example, moisture. Agglomerates capable of conversion as well as the finished agglomerates

and oral and nasal dosing systems including same are also contemplated. The process produces agglomerates which are rugged but which will produce an acceptable fine particle fraction during dosing. Agglomerates of lactose monohydrate (I) and mometasone furoate (II) were prepared under the following conditions: micronization of I and II at 21° and 20% relative humidity (RH), storage of micronized lactose at 21° and 20% RH, conversion of powder agglomerates at 25° and 50% RH. The agglomerates had bulk d. of 0.35 g/cm3, and mean particle size of 580 µm and the ratio of II:I was 1:5.8.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 35 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:548518 CAPLUS

DOCUMENT NUMBER: 129:207207

TITLE: Biocompatible polymer for pharmaceutical drug delivery

aerosol formulations

INVENTOR(S): Stefely, James S.; Schultz, David W.; Schallinger,

Luke E.; Perman, Craig A.; Leach, Chester L.; Duan,

Daniel C.

PATENT ASSIGNEE(S): Minnesota Mining and Manufacturing Company, USA

SOURCE: PCT Int. Appl., 84 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PAT | TENT NO. | | | KINI |) | DATE | | AP | PLICAT | ION NO. | | D | ATE | |
|----------|--------------------|-------|-----|------|-----|--------------|------|-------|--------|---------------|-----|------|---------|-------|
| | 9834596
9834596 | | | | | 1998
1998 | | WO | 1998- | US74 | | 1 | 998020 | 4 < |
| | W: AU,
RW: AT, | | | | | | | | | PL
IE, IT, | LU, | MC, | NL, P | Γ, SE |
| US | 6126919 | | | | | | | | | 797803 | | | | |
| CA | 2279522 | | | AA | | 1998 | 0813 | CA | 1998- | 2279522 | | 1 | 998020 | 4 < |
| AU | 9862384 | | | A1 | | | | | | 62384 | | | | |
| AU | 724765 | | | В2 | | 2000 | 0928 | | | | | | | |
| ΕP | 1014944 | | | A2 | | 2000 | 0705 | ΕP | 1998- | 904525 | | 1 | 998020 | 4 < |
| | R: AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, G | R, IT, | LI, LU, | NL, | SE, | MC, P | Γ, |
| | IE, | FI | | | | | | | | | | | | |
| | 20015111 | | | | | 2001 | 0807 | JP | 1998- | 534404 | | 1 | 998020 | 4 < |
| NZ | 336903 | | | Α | | 2001 | 0831 | NZ | 1998- | 336903 | | 1 | 998020 | 4 < |
| CN | 1114400 | | | В | | 2003 | 0716 | CN | 1998- | 802390 | | 1 | 998020 | 4 < |
| AU | 761559 | | | В2 | | 2003 | 0605 | AU | 2000- | 51854 | | 2 | 0000801 | 7 < |
| | 6416742 | | | | | 2002 | 0709 | US | 2000- | 634406 | | 2 | 0000809 | 9 < |
| US | 20021642 | 90 | | A1 | | 2002 | 1107 | US | 2002- | 78805 | | 2 | 0020218 | 3 < |
| PRIORITY | APPLN. | INFO. | : | | | | | US | 1997- | 797803 | I | 1 | 997020 | 7 < |
| | | | | | | | | AU | 1998- | 62384 | I | 43 1 | 9980204 | 4 < |
| | | | | | | | | WO | 1998- | US74 | V | V 1 | 9980204 | 4 < |
| | | | | | | | | US | 2000- | 634406 | I | A3 2 | 0000809 | 9 < |

AB A medicinal aerosol solution formulation contains a biocompatible polymer containing ≥1 unit [XR1CO] where each R1 is independently selected from organic diyl groups and each X is independently O, S, or a catenary N, a propellant, and a therapeutically effective amount of a drug. The formulation is suitable for oral and/or nasal inhalation. The biocompatible polymers are relatively low mol. weight and are particularly useful for drug solubilization and chemical stabilization as well as for providing sustained release of a drug from a drug delivery system. Thus, poly(L-lactic acid) acetate was prepared and preferred. mol. weight samples were separated by supercrit. fluid fractionation. A medicinal aerosol was formulated using the prepared polymer, butixocort propionate, and HFC 134a propellant. The formulation was delivered into the respiratory track and lungs of adult dogs and metabolite levels were determined An increased drug

residence time in the lungs was observed and attributed to sustained release as result of using the biocompatible polymer.

L10 ANSWER 36 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:548517 CAPLUS

DOCUMENT NUMBER: 129:166237

TITLE: Fluorocarbon propellants for medical aerosol

formulations

INVENTOR(S): Keller, Manfred; Herzog, Kurt PATENT ASSIGNEE(S): Jago Pharma A.-G., Switz. SOURCE: PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND DATE | APPLICATION NO. | DATE |
|------------------------------|-----------------|------------------------|------------------|
| WO 9834595
W: AU, CA, JP, | | WO 1998-CH37 | 19980202 < |
| RW: AT, BE, CH, | DE, DK, ES, FI, | FR, GB, GR, IE, IT, LU | , MC, NL, PT, SE |
| CA 2280099 | | CA 1998-2280099 | |
| CA 2280099 | C 20051227 | | |
| AU 9856496 | A1 19980826 | AU 1998-56496 | 19980202 < |
| AU 718967 | B2 20000504 | | |
| EP 1014943 | A1 20000705 | EP 1998-900837 | 19980202 < |
| EP 1014943 | B1 20020619 | | |
| R: AT, BE, CH, | DE, DK, ES, FR, | GB, GR, IT, LI, LU, NL | , SE, PT, IE, FI |
| NZ 337065 | A 20010223 | | |
| JP 2001511160 | T2 20010807 | JP 1998-533479 | 19980202 < |
| AT 219355 | E 20020715 | AT 1998-900837 | 19980202 < |
| PT 1014943 | T 20021129 | PT 1998-900837 | 19980202 < |
| ES 2178817 | T3 20030101 | ES 1998-900837 | 19980202 < |
| ZA 9800937 | A 19980806 | ZA 1998-937 | 19980205 < |
| NO 9903773 | A 19991004 | NO 1999-3773 | 19990804 < |
| US 6461591 | B1 20021008 | US 1999-355883 | 19990804 < |
| PRIORITY APPLN. INFO.: | | CH 1997-248 | A 19970205 < |
| | | WO 1998-CH37 | W 19980202 < |

AB A pressure-liquefied propellant mixture for aerosols comprising a fluoridated alkane [especially 1,1,1,2-tetrafluoroethane and/or 1,1,1,2,3,3,3-heptafluoropropane (HFA 227)] and CO2 improves the wetting properties for pharmaceutical active substances, whereby existing formulation problems with hydrofluoroalkanes in suspension and solution aerosols can be overcome and improved medical aerosol formulations can be obtained. By using CO2, the pressure and hence the particle size distribution can be influenced in a targeted manner, and by removing O2 from the hydrofluoroalkanes the stability during storage of oxidation-sensitive active substances can be improved. Thus, 1.5 kg HFA 227 was gassed with CO2 and added at 6.5 bar and 20° to a solution of beclomethasone dipropionate 2.5 and oleic acid 0.25 in EtOH 55 g in a pressurized vessel; the mixture was dispensed into Al aerosol canisters. The mean aerodynamic particle diameter and fine particle dose per stroke of the dosing valve were .apprx.1.3 μm and 61.5 μg , resp., immediately after filling the canisters; after 6 mo storage at 30° and 70% relative humidity, these values were .apprx.1.3 μ m and 71.8 μ g, resp.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 37 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:112203 CAPLUS

DOCUMENT NUMBER: 128:172135

TITLE: Aerosol formulations for pharmaceutical and medical

uses

INVENTOR(S):

Miller, Fiona

PATENT ASSIGNEE(S):

Norton Healthcare Ltd., UK; Miller, Fiona

SOURCE:

PCT Int. Appl., 14 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| | TENT NO | | | | KINI |) | DATE | | i | | ICAT | | | | D | ATE | |
|----------|-----------------|-------|-----|------------|------|-----|------|------|-----|------|------|-------|-----|-----|------|-------|------------------|
| | 98053 | 02 | | | | | | | | WO 1 | 997- | GB15 | 02 | | | | 503 < |
| | W: 2 | | | | | | | | | | | | | | | | |
| | 1 | OK, I | EΕ, | ES, | FI, | GB, | GE, | GH, | ΗU, | IL, | IS, | JP, | ΚE, | KG, | ΚP, | KR, | KZ, |
| | | | | | | | | | | | MK, | | | | | | |
| | 1 | PT, I | RO, | RU, | SD, | SE, | SG, | SI, | SK, | ТJ, | TM, | TR, | TT, | UA, | ŪG, | US, | UZ, |
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| | RW: (| | | | | | | | | | | | | | | | |
| | | | | | | | | PT, | SE, | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, |
| | | | | | SN, | | | | | | | | | | | | |
| | | | | | | | | | | | | | | | | | 503 < |
| AU | 973038 | 31 | | | A1 | | 1998 | 0225 | 1 | AU 1 | 997- | 3038: | 1 | | 1 9 | 9970 | 503 < |
| AU | 721920 |) | | | В2 | | 2000 | 0720 | | | | | | | | | |
| EP | 91850 | 7 | | | A1 | | 1999 | 0602 | 1 | EP 1 | 997- | 9251 | 41 | | 1 9 | 9970 | 603 < |
| EP | 91850 | | | | | | | | | | | | | | | | |
| | R: A | AT, E | ΒE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, |
| | | IE, I | | | | | | | | | | | | | | | |
| | 200053 | | | | | | 2000 | 1121 | ı | JP 1 | 998- | 5076 | 95 | | 1 9 | 9970 | 503 < |
| | 215359 | | | | | | 2002 | 0415 | - | | | | | | | | 503 < |
| PT | 91850 | 7 | | | T | , | 2002 | 0930 | 1 | PT 1 | 997- | 9251 | 41 | | 1 9 | 99706 | 503 < |
| | 217543 | | | | Т3 | | 2002 | 1116 | I | ES 1 | 997- | 9251 | 41 | | 1 9 | 99706 | 503 < - - |
| NO | 990045 | 54 | | | Α | | 1999 | 0329 | 1 | NO 1 | 999- | 454 | | | 1 9 | 99903 | 129 < |
| PRIORITY | ORITY APPLN. IN | | | · : | | | | | (| GB 1 | 996- | 1623 | 7 | Ž | A 19 | 99608 | 301 < |
| | | | | | | | | | Ī | VO 1 | 997- | GB15 | 02 | 1 | V 19 | 99706 | 503 < |

The replacement of chlorofluorohydrocarbon propellants in medical aerosols AB is of the utmost importance to the pharmaceutical industry. A number of formulations have been investigated. The present invention provides a medical aerosol formulation comprising a particular medicament, a fluorocarbon propellant, and a polar co-solvent, such formulation being substantially free of surfactant. Cannisters suitable for delivering such a pharmaceutical formulation are also provided. Micronized salbutamol sulfate was added to ethanol to give a suspension, which was filled into an aerosol canister. The metering valve assembly was crimped on the canister and tetrafluoroethane was filled through the valve, in which the valve capacity was to deliver 100 µg salbutamol per actuation. 4

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 38 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1996:728984 CAPLUS

DOCUMENT NUMBER:

125:339082

TITLE:

Process for the preparation of respirable particles

Jakupovic, Edib; Trofast, Jan

PATENT ASSIGNEE(S):

Astra Aktiebolag, Swed.

SOURCE:

PCT Int. Appl., 17 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

INVENTOR(S):

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE -----

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/ WO 9632095
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                                19961017
                                             WO 1996-SE479
                                                                    19960412 <--
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             ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT,
             LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
             SG, SI
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
             IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN
     ZA 9602596
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                                19961014
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                                                                    19960401 <--
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                                             TW 1996-85103802
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     IL 117841
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                                20040104
                                             IL 1996-117841
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     CA 2217062
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                                19961017
                                             CA 1996-2217062
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     AU 9653524
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     AU 694863
                          B2
                                19980730
     EP 820276
                          A1
                                19980128
                                             EP 1996-910285
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     EP 820276
                          В1
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             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI
     CN 1186428
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                                            CN 1996-194372
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     CN 1102383
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                                20030305
     JP 11503448
                          Т2
                                19990326
                                            JP 1996-530963
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     AT 230257
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     PT 820276
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                                                                    19960412 <--
     ES 2188750
                          Т3
                                20030701
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                                             US 1996-669477
                                                                    19960710 <--
     NO 9704557
                                19971002
                                            NO 1997-4557
                          Α
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     NO 316209
                          В1
                                20031229
PRIORITY APPLN. INFO.:
                                             SE 1995-1384
                                                                 A 19950413 <--
                                             WO 1996-SE479
                                                                 W 19960412 <--
AB
     A process for producing a pharmaceutical powder for inhalation comprising
     crystalline particles of an inhalation compound, comprising dissolving an
     inhalation compound in a solvent; and introducing the solution containing the
     inhalation compound in droplet form or as a jet stream, into an anti-solvent
     which is miscible with the solvent and which is under agitation.
L10 ANSWER 39 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                         1996:476908 CAPLUS
DOCUMENT NUMBER:
                         125:123754
TITLE:
                         Aerosol drug formulations containing hydrofluoralkane
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propellants and surfactants

INVENTOR(S): Baeckstroem, Kjell; Dahlbaeck, Magnus; Johansson, Ann;

Kaellstrand, Goeran; Lindqvist, Elisabet

PATENT ASSIGNEE(S): Astra Aktiebolag, Swed. SOURCE: PCT Int. Appl., 17 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

| PAT | FENT | NO. | | | KIN | D | DATE | | | APPL | ICAT | ION | NO. | | D | ATE | |
|-----|------|-----|-----|-----|-----|-----|----------|------|-----|------|------|------|-----|-----|-----|------|-------|
| WO | 9619 | 198 | | | A1 | - |
1996 | 0627 | | WO 1 | 995- | SE15 | 42 | | 1 | 9951 | 219 < |
| | W: | AL, | AM, | ΑT, | ΑU, | BB, | BG, | BR, | BY, | CA, | CH, | CN, | CZ, | DE, | DK, | EE, | ES, |
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| | | LV, | MD, | MG, | MK, | MN, | MW, | MX, | NO, | ΝZ, | PL, | PT, | RO, | RU, | SD, | SE, | SG, |
| | | SI, | SK | | | | | | | | | | | | | | |
| | RW: | KE, | LS, | MW, | SD, | SZ, | UG, | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IE, |
| | | IT, | LU, | MC, | NL, | PT, | SE, | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | ML, | MR, |
| | | ΝE, | SN, | TD, | TG | | | | | | | | | | | | |
| ZA | 9510 | 754 | | | Α | | 1996 | 0624 | | ZA 1 | 995- | 1075 | 4 | | 1 | 9951 | 218 < |
| CA | 2206 | 782 | | | AA | | 1996 | 0627 | | CA 1 | 995- | 2206 | 782 | | 1 | 9951 | 219 < |
| ΑU | 9643 | 593 | | | A1 | | 1996 | 0710 | | AU 1 | 996- | 4359 | 3 | | 1 | 9951 | 219 < |
| ΑU | 7028 | 80 | | | B2 | | 1999 | 0311 | | | | | | | | | |

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EP 806940
                        A1
                              19971119
                                          EP 1995-942343
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    EP 806940
                        В1
                              20030409
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, LT, LV
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                              20010516
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PRIORITY APPLN. INFO.:
                                          SE 1994-4469
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                                                            A 19950706 <--
                                          SE 1995-2452
                                                            A3 19951219 <--
                                          JP 1996-519732
                                          WO 1995-SE1542
                                                             W 19951219 <--
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AR Aerosol formulations suitable for use in pressurized metered dose inhalers comprise a hydrofluoralkane propellant, a medicament for inhalation and a surfactant which is a C8-C16 fatty acid or salt thereof, a bile salt, a phospholipid, or an alkyl saccharide. Micronized formoterol fumarate and micronized Na taurocholate were added to a plastic-coated glass bottle. The bottle was chilled to -40° with a mixture of CO2 ice and isopropanol and then chilled 1,1,1,2-tetrafluoroethane was added. The bottle was sealed with a metering valve and treated in an ultrasonic bath for 10 min to give a good suspension.

L10 ANSWER 40 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1995:528673 CAPLUS

DOCUMENT NUMBER:

122:274076

TITLE:

SOURCE:

Process for conditioning substances

Trofast, Eva Ann-Christin; Briggner, Lars-Erik Astra Aktiebolag, Swed.

PATENT ASSIGNEE(S):

PCT Int. Appl., 20 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

INVENTOR(S):

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

| PAT | CENT 1 | NO. | | | KINI |) | DATE | | • | | | ION I | | | D2 | ATE | | |
|-----|--------|-----|-----|-----|------------|-----|------|------|-----|------|------|-------|------|-----|-----|------|-----|----|
| WO | 9505 | 805 | | | A1 | | 1995 | 0302 | 1 | | | | | | 1. | 9940 | 825 | < |
| | W: | AM, | AT, | ΑU, | BB, | BG, | BR, | BY, | CA, | CH, | CN, | CZ, | DE, | DK, | EE, | ES, | FI, | |
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| | | UZ, | VN | | | | | | | | | | | | | | | |
| | RW: | KE, | MW, | SD, | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | ΙE, | IT, | LU, | MC, | |
| | | NL, | PT, | SE, | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | ML, | MR, | NE, | SN, | TD, | ΤG |
| | 9405 | | | | | | | 0429 | | | | | | | | | | |
| TW | 4279 | 16 | | | В | | 2001 | 0401 | | TW 1 | 994- | 8310 | 7152 | | 1: | 9940 | 804 | < |
| ΙL | 1106 | 98 | | | A 1 | | 2002 | 1110 | | IL 1 | 994- | 1106 | 98 | | 1: | 9940 | 818 | < |
| CA | 2170 | 394 | | | AA | | 1995 | 0302 | | CA 1 | 994- | 2170 | 394 | | 1 | 9940 | 825 | < |
| CA | 2170 | 394 | | | С | | 2004 | 1012 | | | | | | | | | | |
| ΑU | 9476 | | | | | | 1995 | 0321 | | AU 1 | 994- | 7626 | 4 | | 1 | 9940 | 825 | < |
| ΑU | 6811 | 86 | | | В2 | | 1997 | 0821 | | | | | | | | | | |
| BR | 9407 | 320 | | | Α | | 1996 | 0416 | | BR 1 | 994- | 7320 | | | 1 | 9940 | 825 | < |
| EΡ | 7176 | 16 | | | A1 | | 1996 | 0626 | | EP 1 | 994- | 9264 | 21 | | 1: | 9940 | 825 | < |
| EΡ | 7176 | 16 | | | В1 | | 2001 | 0321 | | | | | | | | | | |
| | | | | | | | | FR, | | | | | | | | | | |
| CN | 1133 | 004 | | | Α | | 1996 | 1009 | | CN 1 | 994- | 1937 | 93 | | 1 | 9940 | 825 | < |

| CN | 1049333 | В | 20000216 | | | | | |
|----------|-----------------|----|----------|----|-------------|---|----------|---|
| HU | 74000 | A2 | 19961028 | HU | 1996-447 | | 19940825 | < |
| HU | 217770 | В | 20000428 | | | | | |
| JP | 09501930 | T2 | 19970225 | JP | 1994-507516 | | 19940825 | < |
| JP | 2978247 | B2 | 19991115 | | | | | |
| PL | 176749 | B1 | 19990730 | PL | 1994-313142 | | 19940825 | < |
| RU | 2148992 | C1 | 20000520 | RU | 1996-105935 | | 19940825 | < |
| AT | 199828 | E | 20010415 | ΑT | 1994-926421 | | 19940825 | < |
| ES | 2156158 | Т3 | 20010616 | ES | 1994-926421 | | 19940825 | < |
| PT | 717616 | T | 20010830 | PT | 1994-926421 | | 19940825 | < |
| CZ | 289018 | В6 | 20011017 | CZ | 1996-544 | | 19940825 | < |
| SK | 283146 | B6 | 20030304 | SK | 1996-234 | | 19940825 | < |
| US | 5709884 | Α | 19980120 | US | 1995-379471 | | 19950130 | < |
| NO | 9600744 | Α | 19960223 | NO | 1996-744 | | 19960223 | < |
| NO | 312433 | B1 | 20020513 | | | | | |
| FI | 9600869 | Α | 19960226 | FI | 1996-869 | | 19960226 | < |
| CN | 1195523 | Α | 19981014 | CN | 1997-123049 | | 19971126 | < |
| CN | 1090019 | В | 20020904 | | | | | |
| HK | 1016493 | A1 | 20030425 | HK | 1999-101600 | | 19990414 | < |
| GR | 3036106 | т3 | 20010928 | GR | 2001-400955 | | 20010621 | < |
| PRIORITY | Y APPLN. INFO.: | | | SE | 1993-2777 | Α | 19930827 | < |
| | | | | WO | 1994-SE780 | W | 19940825 | < |
| | | | | | | | | |

AB The present invention relates to a process for providing a stable crystalline form to a fine-grained substance or a substance mixture, which can be produced, stored and used while maintaining the aerodynamic properties required for inhalation of such a substance or a substance mixture, by a) in case of a substance mixture, preparing a homogeneous mixture of the substances; b) micronizing, direct precipitating or diminishing by any conventional method the

substance or substance mixture into a particle size required for inhalation, the particle size being less than 10 $\mu m;$ c) optionally preparing a homogeneous mixture of the desired substances when each substance has been introduced from stage b) as sep. fine-grained particles; d) conditioning said substance or substance mixture by treatment with a water containing vapor phase in a controlled fashion; and e) drying.

L10 ANSWER 41 OF 41 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:589788 CAPLUS

DOCUMENT NUMBER: 115:189788

TITLE: Hydrofluorocarbon propellants for pharmaceutical

aerosols

INVENTOR(S): Steele, Gerald; Somani, Asit; Lim, Joseph Geok Paan

PATENT ASSIGNEE(S): Fisons PLC, UK

SOURCE: PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

| PAT | TENT NO. | | | KINI |) | DATE | | AP | PLICAT | ON NO! | | DA | TE | |
|-----|----------|-----|-----|------|-----|-------|------|-------|--------|---------|--------|----|--------|---|
| | | | | | - | | | | | | | | | |
| WO | 9111173 | | | A1 | | 1991 | 8080 | WO | 1991- | ·GB133 | | 19 | 910130 | < |
| | W: CA, | JP, | US | | | | | | | | | | | |
| | RW: AT, | ΒE, | CH, | DE, | DK, | , ES, | FR, | GB, G | R, IT, | LU, NI | L, SE | | | |
| IL | 97065 | | | A1 | | 1994 | 0125 | IL | 1991- | 97065 | | 19 | 910128 | < |
| CA | 2074495 | | | AA | | 1991 | 0803 | CA | 1991- | 2074495 | 5 | 19 | 910130 | < |
| CA | 2074495 | | | С | | 2003 | 1216 | | | | | | | |
| ZA | 9100696 | | | Α | | 1991 | 1030 | ZA | 1991- | 696 | | 19 | 910130 | < |
| ΕP | 513127 | | | A1 | | 1992 | 1119 | EP | 1991- | 903548 | | 19 | 910130 | < |
| EΡ | 513127 | | | В1 | | 1995 | 0719 | | | | | | | |
| | R: AT, | BE, | CH, | DE, | DK, | , ES, | FR, | GB, G | R, IT, | LI, LU | J, NL, | SE | | |
| JΡ | 05503523 | | • | т2 | | | | | | ·503797 | | | 910130 | < |
| JΡ | 2858948 | | | В2 | | 1999 | 0217 | | | | | | | |

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T3
B1
    ES 2075956
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                              19951016
                                         ES 1991-903548
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    US 6582677
                              20030624
                                          US 1996-766580
                                                                19961212 <--
PRIORITY APPLN. INFO.:
                                          GB 1990-2351
                                                            A 19900202 <--
                                          GB 1990-23655
                                                            A 19901031 <--
                                          GB 1990-26476
                                                            A 19901205 <--
                                          WO 1991-GB133
                                                            W 19910130 <--
                                          US 1992-916107
                                                            B1 19920722 <--
                                          US 1994-355106
                                                            B1 19941213 <--
```

AB A pressurized aerosol composition comprises a liquefied hydrofluorocarbon propellant containing substantially no nonhydrofluorocarbon solvent having dispersed therein a medicament and a fluorinated surfactant. The propellants are substantially taste- and odor-free and have suitable vapor pressures for the administration of medicaments by inhalation, yet are environmentally safe and acceptable. Thus, a composition containing nedocromil Na

0.200, FC 431 (fluorinated acrylic polymer) 0.061, and CF3CFH2 11.979 g was filled into Al aerosol canister.

=> s beclomethasone or flunisolide or triamcinolone acetonide or dexamethasone or tipredane or ciclesonid or refloponide or mometaosone or budesonide

1589 BECLOMETHASONE

518 FLUNISOLIDE

1 FLUNISOLIDES

518 FLUNISOLIDE

(FLUNISOLIDE OR FLUNISOLIDES)

4064 TRIAMCINOLONE

9 TRIAMCINOLONES

4066 TRIAMCINOLONE

(TRIAMCINOLONE OR TRIAMCINOLONES)

4973 ACETONIDE

344 ACETONIDES

5113 ACETONIDE

(ACETONIDE OR ACETONIDES)

2331 TRIAMCINOLONE ACETONIDE

(TRIAMCINOLONE (W) ACETONIDE)

33435 DEXAMETHASONE

17 DEXAMETHASONES

33436 DEXAMETHASONE

(DEXAMETHASONE OR DEXAMETHASONES)

59 TIPREDANE

1 CICLESONID

0 REFLOPONIDE

0 MOMETAOSONE

1978 BUDESONIDE

L11 37485 BECLOMETHASONE OR FLUNISOLIDE OR TRIAMCINOLONE ACETONIDE OR DEXAMETHASONE OR TIPREDANE OR CICLESONID OR REFLOPONIDE OR MOMET AOSONE OR BUDESONIDE

=> s 73573-87-2

REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

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L14
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217 L13 AND L11

=> dup rem L14

PROCESSING COMPLETED FOR L14

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=> s L14 and (AY<2002 or PY<2002 or PRY<2002)

4142168 AY<2002

21819042 PY<2002

3591199 PRY<2002

L16 108 L14 AND (AY<2002 OR PY<2002 OR PRY<2002)

=> s water

2377679 WATER

256925 WATERS

L17 2433410 WATER

(WATER OR WATERS)

=> s L16 and L17

14 L16 AND L17

=> s aqueous and L16

171951 AOUEOUS

1 AOUEOUSES

171952 AQUEOUS

(AQUEOUS OR AQUEOUSES)

1052024 AQ

156 AQS

1052116 AQ

(AQ OR AQS)

1086511 AQUEOUS

(AQUEOUS OR AQ)

L19

7 AQUEOUS AND L16

=> d L18 1-14 ibib abs

L18 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:1311702 CAPLUS

DOCUMENT NUMBER:

144:57525

TITLE:

Coated vaginal devices for vaginal delivery of

therapeutically effective and/or health-promoting

agents

INVENTOR(S):

Wilson, Michelle; Desai, Kishorkumar J.; Pauletti,

Giovanni M.; Antoon, Mitchell K.; Clendening, Chris E.

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 40 pp., Cont.-in-part of U.S.

Ser. No. 126,863

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 11

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|------------|
| US 2005276836 | A1 | 20051215 | US 2005-180076 | 20050712 < |
| US 6197327 | B1 | 20010306 | US 1998-79897 | 19980515 < |
| US 6086909 | A | 20000711 | US 1999-249963 | 19990212 < |
| US 6572874 | B1 | 20030603 | US 2000-626025 | 20000727 < |
| NZ 508130 | Α | 20020301 | NZ 2000-508130 | 20001113 < |
| AU 765269 | B2 | 20030911 | AU 2001-54192 | 20010703 < |
| US 2003049302 | A1 | 20030313 | US 2002-226667 | 20020821 < |
| US 6982091 | B2 | 20060103 | | |
| US 2004005345 | A1 | 20040108 | US 2003-349029 | 20030122 < |

| | US 6905701
US 2004043071 | B2 | |)5061
)4030 | | 2002 600040 | | 20020620 | |
|------|-----------------------------|--------|--------|----------------|---------|-------------------|-----------|----------|---|
| | US 2005249774 | A1 | | | | 2003-600849 | | 20030620 | , |
| | | A1 | | 05111 | | 2005-126863 | | 20050510 | |
| | US 2006002966 | A1 | . 200 | 06010 | | 2005-208209 | | 20050818 | |
| PRIO | RITY APPLN. INFO. | : | | | US | 1997-49325P | P | 19970611 | < |
| | | | | | US | 1998-79897 | A2 | 19980515 | < |
| | | | | | US | 1999-249963 | A2 | 19990212 | < |
| | | | | | US | 2000-626025 | A2 | 20000727 | < |
| | | | | | US | 2002-226667 | A2 | 20020821 | |
| | | | | | US | 2003-349029 | A2 | 20030122 | |
| | | | | | US | 2003-600849 | A2 | 20030620 | |
| | | | | | US | 2004-587454P | P | 20040712 | |
| | | | | | US | 2005-126863 | A2 | 20050510 | |
| | | | | | AU | 1998-76976 | А3 | 19980610 | < |
| | | | | | NZ | 1998-502120 | A1 | 19980610 | < |
| | | | | | US | 1999-146218P | P | 19990728 | < |
| | | | | | US | 2001-315877P | P | 20010829 | < |
| | | | | | US | 2002-390748P | P | 20020621 | |
| AB | Disclosed is a v | aginal | device | for | deliver | ing therapeutical | and | d/or | |

health-promoting agents. The vaginal device partly or completely coated by, covered by or combined with a coating or covering comprising a film, foam, strip, cap, cup or particles. The coating of the device comprises a mucoadhesive composition comprising a therapeutical and/or health-promoting agent. For example, sumatriptan vaginal suppository were prepared from Suppocire AS2X, hydroxypropyl Me cellulose as a mucoadhesive agent, and Transcutol as a permeation enhancer.

L18 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2003:376128 CAPLUS

DOCUMENT NUMBER:

138:374176

TITLE:

Water soluble or nonwater soluble

nanoparticulates generation directly in suspension or

dispersion media

INVENTOR(S):

Mohsen, Nahed M.; Armer, Thomas A.

PATENT ASSIGNEE(S):

SOURCE:

USA U.S. Pat. Appl. Publ., 5 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-------------------|------------|
| | | | | |
| US 2003091513 | A1 | 20030515 | US 2002-264030 | 20021003 < |
| PRIORITY APPLN. INFO.: | | | US 2001-326442P P | 20011003 < |

AB A method for preparing a formulation containing nanoparticles of a compound is described. The method includes forming the compound into nanoparticles and then delivering the nanoparticles directly to a collection media. The collection media is a desired component of the formulation. The nanomedicaments are fabricated using supercrit fluid processes. An example formulation contained budesonide, Tyloxapol, benzalkonium chloride, and citrate buffer.

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L18 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
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ACCESSION NUMBER:

2003:376127 CAPLUS

DOCUMENT NUMBER:

138:390904

TITLE:

Water stabilized medicinal aerosol

formulation

INVENTOR(S):

Adjei, Akwete; Cutie, Anthony J.

PATENT ASSIGNEE(S): SOURCE:

U.S. Pat. Appl. Publ., 13 pp., Cont.-in-part of U.S.

Ser. No. 619,183, abandoned.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PA | TENT | NO. | | | KIN | D | DATE | | | | | | | | D | ATE | | |
|---------|--------------|------|-----|-----|----------|-----|--------------|------|-----|------|------|------|-----|-----|-----|------|----------|---|
| | 2003
6261 | | | | A1
B1 | | 2003
2001 | | 1 | US 2 | 002- | 2348 | 25 | | | | | |
| CA | 2497 | 171 | | | AA | | 2004 | 0318 | (| CA 2 | 003- | 2497 | 171 | | 21 | 0030 | 903 | |
| WO | 2004 | 0220 | 35 | | A1 | | 2004 | 0318 | 1 | WO 2 | 003- | US27 | 245 | | 21 | 0030 | 903 | |
| | W: | ΑE, | AG, | AL, | AM, | ΑT, | ΑU, | AZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, | |
| | | | | | | | DK, | | | | | | | | | | | |
| | | | | | | | IN, | | | | | | | | | | | |
| | | | | | | | MD, | | | | | | | | | | | |
| | | | | | | | SE, | | | | | | | | | | | |
| | | - | | | | | ZM, | • | • | • | • | • | • | • | | , | | |
| | RW: | | | | | | ΜZ, | | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, | |
| | | | | | | | TM, | | | | | | | | | | | |
| | | | | | | | IE, | | | | | | | | | | | |
| | | | | | | | CM, | | | | | | | | | | | |
| AU | 2003 | | | • | • | | • | • | • | | | | | | , | , | | |
| | 1569 | | | | | | | | | | | | | | | | | |
| | | | | | | | ES, | | | | | | | | | | | |
| | | - | | - | | | RO, | • | | - | | • | • | • | | • | , | |
| JР | 2006 | | | | | | | | | | | | | | | | 903 | |
| PRIORIT | | | | | | | | 0113 | | US 1 | | | | | | | | < |
| | | | | • • | | | | | | US 2 | | | | | | | 719 · | |
| | | | | | | | | | | US 2 | | | | | | | | ` |
| | | | | | | | | | | WO 2 | | | | | | | | |
| 7D MF | | | | 1 . | | | | | | | | | | | | | <i>_</i> | , |

AB This invention relates to a medicinal aerosol suspension formulation and more particularly, to a medicinal aerosol formulation containing a particulate drug or a combination of at least two particulate drugs, a propellant and a stabilizing agent comprising a water addition

L18 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:813911 CAPLUS

DOCUMENT NUMBER: 137:316082

TITLE: Formoterol/steroid bronchodilating compositions and

methods of use thereof

INVENTOR(S): Banerjee, Partha S.; Chaudry, Imitiaz A.

PATENT ASSIGNEE(S): Dey LP, USA

SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

| PATENT NO. | KIND DATE | APPLICATION NO. | DATE | | | |
|--|---|---|--|--|--|--|
| WO 2002083113 | A2 20021024
A3 20030320 | | 20020301 < | | | |
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GM, HR, HU
LS, LT, LU
PL, PT, RO | , CZ, DE, DK, DM,
I, ID, IL, IN, IS,
I, LV, MA, MD, MG,
I, RU, SD, SE, SG, | BA, BB, BG, BR, BY, BZ, DZ, EC, EE, ES, FI, GB, JP, KE, KG, KP, KR, KZ, MK, MN, MW, MX, MZ, NO, SI, SK, SL, TJ, TM, TN, ZM, ZW, AM, AZ, BY, KG, | GD, GE, GH,
LC, LK, LR,
NZ, OM, PH,
TR, TT, TZ, | | | |
| RW: GH, GM, KE
CY, DE, DF
BF, BJ, CE | E, ES, FI, FR, GB, | SL, SZ, TZ, UG, ZM, ZW,
GR, IE, IT, LU, MC, NL,
GN, GQ, GW, ML, MR, NE,
US 2001-887496 | PT, SE, TR,
SN, TD, TG | | | |

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CA 2444535
                         AA
                                20021024
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                                           EP 2002-719098
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     JP 2005512944
                                                                  20020301 <--
                         T2
                                20050512
                                           JP 2002-580917
     US 2002183293
                         Α1
                                20021205
                                           US 2002-145978
                                                                   20020513 <--
PRIORITY APPLN. INFO.:
                                           US 2001-284607P
                                                              P 20010417 <--
                                           US 2001-887496
                                                              A1 20010622 <--
                                           WO 2002-US6252
                                                               W 20020301
    Bronchodilating compns. intended for administration as a nebulized aerosol
    are provided. In certain embodiments, the compns. contain formoterol, or
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AB Bronchodilating compns. intended for administration as a nebulized aerosol are provided. In certain embodiments, the compns. contain formoterol, or a derivative thereof, and a steroidal anti-inflammatory agent. Methods for treatment, prevention, or amelioration of one or more symptoms of bronchoconstrictive disorders using the compns. provided herein are also provided. For example, a solution was prepared containing Formoterol fumarate dihydrate 85 μg/mL, budesonide 125 μg/mL, vitamin E TPGS 10 μg/mL, Polyethylene glycol 10 μg/mL, citrate buffer 50mM, sodium chloride 7.5 mg/mL, and water as needed.

L18 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:574906 CAPLUS

DOCUMENT NUMBER: 137:129896

TITLE: Process for preparing particles of a protein or

polypeptide

INVENTOR(S): Sundholm, Goran Eric; Demirbuker, Mustafa; Moshashaee,

Saeed

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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KIND DATE
                                        APPLICATION NO.
    PATENT NO.
                                                               DATE
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                                                               -----
    WO 2002058674
                       A2
                              20020801
                                         WO 2002-GB261
                                                               20020121 <--
    WO 2002058674
                       A3
                              20021121
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
            PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
            UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
            TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
            CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
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                                       CA 2002-2433838
                                                              20020121 <--
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                              20031105
                                        EP 2002-715546
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            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
    BR 2002006439
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    JP 2004521891
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    US 2004058007
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A 20030717
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                                                               20030708 <--
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    NO 2003003241
                                                               20030717 <--
                                                           A 20010126 <--
W 20020121
                                          GB 2001-2075
PRIORITY APPLN. INFO.:
                                          WO 2002-GB261
```

AB A process for preparing particles of a substance, such as a protein or polypeptide, comprising: (a) preparing a first liquid comprising water, the substance and a modulator, wherein the modulator has a solubility in water which decreases with increasing temperature; and (b) contacting

the first liquid with a second liquid comprising a fluid gas and an organic solvent using an anti-solvent fluid gas technique under conditions of temperature and pressure which result in the precipitation of particles comprising the

substance, wherein the temperature of the first liquid is at or above the cloud point temperature of the first liquid when the first liquid contacts the second liquid

Also claimed are particles obtained according to the process and compns. containing the particles. Lysozyme was dissolved in a tri-Et citrate solution, mixed with CO2 modified with ethanol through a coaxial nozzle, and processed in a SEDS particle formation chamber.

L18 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:555336 CAPLUS

DOCUMENT NUMBER: 137:114526

TITLE: A method for the preparation of nanoparticles INVENTOR(S): Watanabe, Wiwik; Kauppinen, Esko; Ahonen, Petri;

Brown, David; Muttonen, Esa
PATENT ASSIGNEE(S): Orion Corporation, Finland
SOURCE: PCT Int. Appl., 22 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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KIND DATE APPLICATION NO.
    PATENT NO.
                                                           DATE
    A1 20020725 WO 2002-FI42
                                                           20020118 <--
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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           GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
           LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
           PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
           UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
           TJ, TM
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           CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
           BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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                      A1 20031015 EP 2002-710900 20020118 <--
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
           IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
    JP 2004520157
                   T2 20040708
                                     JP 2002-557374
                                                            20020118 <--
                                       US 2003-466365
FI 2001-115
                                                         20031211 <--
    US 2004091542
                      A1
                            20040513
                                       FI 2001-115
WO 2002-FI42
                                                        A 20010118 <--
W 20020118
PRIORITY APPLN. INFO.:
```

The invention relates to free nano-sized particles of active agents e.g. therapeutic, cosmetic or diagnostic agents, and to a method for the preparation of such particles. The method comprises providing a liquid feed stock comprising an active agent or combination of two or more active agents, atomizing the liquid feed stock, suspending the droplets in a carrier gas, and passing the carrier gas and droplets through a heated tube flow reactor under predetd. residence time and temperature history, and collecting the particles produced. Nano-sized crystalline spherical uncharged particles with narrow aerodynamic particle size distribution and rough surfaces, are obtained. The particles show improved dissoln. rate in-vitro and bioavailability in-vivo, dispersibility and stability. Nanosized

beclomethasone dipropionate particles were prepared

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:487374 CAPLUS

DOCUMENT NUMBER: 137:52399

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TITLE: Pharmaceutical aerosol formulations containing alkyl
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polyglycoside

INVENTOR(S): Buckton, Graham; Columbano, Angela; Grosvenor, Martin;

Wikeley, Philip

PATENT ASSIGNEE(S): Astrazeneca Ab, Swed. SOURCE: PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PA' | TENT | NO. | | | KIN | 0 | DATE | | | | ICAT | | | | D. | ATE | |
|---------|-------|------|------|-----|------|-----|------|------|-----|------|------|------|------|-----|-----|------|-------|
| WO | 2002 | 0496 | 16 | | A1 | | 2002 | 0627 | | | | | | | 2 | 0011 | 219 < |
| | W: | ΑE, | AG, | AL, | AM, | ΑT, | ΑU, | AZ, | BA, | BB, | BG, | BR, | BY, | ΒZ, | CA, | CH, | CN, |
| | | co, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, |
| | | GM, | HR, | ΗU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | ΚP, | ·KR, | ΚZ, | LC, | LK, | LR, |
| | | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | NZ, | OM, | PH, |
| | | PL, | PT, | RO, | RU, | SD, | SE, | SG, | SI, | SK, | SL, | ТJ, | TM, | TN, | TR, | TT, | TZ, |
| | | UA, | UG, | US, | UZ, | VN, | YU, | ZA, | ZM, | ZW, | ΑM, | ΑZ, | BY, | KG, | ΚZ, | MD, | RU, |
| | | ТJ, | TM | | | | | | | | | | | | | | |
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| | | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG |
| AU | 2002 | 0165 | 76 | | A5 | | 2002 | 0701 | i | AU 2 | 002- | 1657 | 6 | | 2 | 0011 | 219 < |
| EΡ | 1345 | 591 | | | A1 | | 2003 | 0924 |] | EP 2 | 001- | 2712 | 13 | | 2 | 0011 | 219 < |
| EΡ | 1345 | 591 | | | В1 | | 2005 | 0302 | | | | | | | | | |
| | R: | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, |
| | | | | | LV, | | | | | | | | | | | | |
| JP | 2004 | 5162 | 61 | | Т2 | | 2004 | 0603 | | JP 2 | 002- | 5509 | 58 | | 2 | 0011 | 219 < |
| | 2898 | | | | | | | | | | | | | | | 0011 | 219 < |
| US | 2004 | 0825 | 20 | | A1 | | 2004 | 0429 | 1 | JS 2 | 003- | 4511 | 62 | | 2 | 0031 | 125 < |
| RIORIT | Y APP | LN. | INFO | .: | | | | | | SE 2 | 000- | 4750 | | | A 2 | 0001 | 219 < |
| | | | | | | | | | 1 | WO 2 | 001- | SE28 | 53 | 1 | ₩ 2 | 0011 | 219 < |
| THER SO | DURCE | (S): | | | MARI | РΑТ | 137. | 5239 | Q P | | | | | | | | |

OTHER SOURCE(S): MARPAT 137:52399

AB The invention relates to a pharmaceutical aerosol formulation comprising a surfactant that is an alkyl polyglycoside (the average degree of polymerization of

1-4) for the administration of a drug for inhalation. Propellant HFA-134a was was dispensed chilled (at -55°) into a 400-mL can. A valve was then crimped onto the can and the propellant allowed to return to ambient temperature Beclomethasone dipropionate was weighed into a 30-mL glass vial and 20 mL of surfactant (alkyl polyglycoside at 0.8 g/L) solution in water. The resultant suspension was incubated at 25° for 3 h hours, to allow adsorption of the surfactant to the surface of the drug, and to give a drug-surfactant ratio of 10 mg surfactant/g drug. The suspension was centrifuged and the particles of drug-surfactant were separated from the supernatant and dried in an oven at 50° for 24 h. This was mixed with the propellant, and the final composition contained beclomethasone dipropionate and glycoside 0.2% and HFA-134a to 100%.

REFERENCE COUNT:

6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:122837 CAPLUS

DOCUMENT NUMBER: 136:189346

TITLE: Medical electropowders for inhalers INVENTOR(S): Nilsson, Thomas; Nilsson, Lars-Gunnar

PATENT ASSIGNEE(S): Microdrug A.-G., Switz. SOURCE: PCT Int. Appl., 54 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE A | PPLICATION NO. | DATE |
|---------------------|-------------|-------------|-----------------|-----------------|
| WO 2002011803 | A1 | 20020214 W | | 20010727 < |
| W: AE, AG | AL, AM, AT, | AU, AZ, BA, | BB, BG, BR, BY, | BZ, CA, CH, CN, |
| CO, CR | CU, CZ, DE, | DK, DM, DZ, | EC, EE, ES, FI, | GB, GD, GE, GH, |
| GM, HR | HU, ID, IL, | IN, IS, JP, | KE, KG, KP, KR, | KZ, LC, LK, LR, |
| LS, LT | LU, LV, MA, | MD, MG, MK, | MN, MW, MX, MZ, | NO, NZ, PL, PT, |
| RO, RU | SD, SE, SG, | SI, SK, SL, | TJ, TM, TR, TT, | TZ, UA, UG, US, |
| UZ, VN | YU, ZA, ZW, | AM, AZ, BY, | KG, KZ, MD, RU, | TJ, TM |
| RW: GH, GM | KE, LS, MW, | MZ, SD, SL, | SZ, TZ, UG, ZW, | AT, BE, CH, CY, |
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| BJ, CF | CG, CI, CM, | GA, GN, GQ, | GW, ML, MR, NE, | SN, TD, TG |
| SE 2000002822 | А | 20020129 S | E 2000-2822 | 20000804 < |
| SE 516555 | C2 | 20020129 | | |
| US 6696090 | B1 | 20040224 U | S 2000-636548 | 20000811 < |
| | | | | 20010727 < |
| AU 2001082743 | A5 | 20020218 A | U 2001-82743 | 20010727 < |
| EP 1309369 | A1 | 20030514 E | P 2001-961481 | 20010727 < |
| R: AT, BE | CH, DE, DK, | ES, FR, GB, | GR, IT, LI, LU, | NL, SE, MC, PT, |
| IE, SI | LT, LV, FI, | RO, MK, CY, | AL, TR | |
| | | | | 20010727 < |
| JP 2004505685 | Т2 | 20040226 J | P 2002-517135 | 20010727 < |
| PRIORITY APPLN. INF |).: | _ | E 2000-2822 | |
| | | W | O 2001-SE1682 | W 20010727 < |

AΒ A method and a process are disclosed for preparation of medical electro-powders. The electro-powder results from prepns. of chemical and biol. substances to form electro-powders suitable for electrostatic charging and dosing for functionality in a dry powder inhaler device. electro-powder resulting from the method and process forms an active powder substance or a dry powder medical formulation with a fine particle fraction representing of the order 50 or more of the content having a size ranging between 0.5-5 μm and provides electrostatic properties with an absolute specific charge per mass after charging of the order 0.1x10-6 to 25x10-6 C/g and presenting a charge decay rate constant Q50 > 0.1 s with a tap d. of less than 0.9 g/mL and a water activity aw of less than 0.5. In the processing the active substance is a generally pharmacol. active chemical or biol. substance, for instance a polypeptide or any other corresponding substance selected alone or mixed or blended together with one or more excipients being a compound to improve electrostatic properties of the medical dry powder substance or dry powder medical formulation. Further the electro-powder may even be formed as a micro-encapsulation by coating micronized powder with the excipient in such a way that the active substance is capsulated whereby the powder electrostatic properties mainly comes from the excipient. Terbutaline sulfate, used for asthma treatment, was micronized and analyzed for particle size.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:89782 CAPLUS

DOCUMENT NUMBER: 136:139841

TITLE: A medicinal aerosol formulation containing a

particulate drug

INVENTOR(S): Adjei, Akwete L.; Cutie, Anthony J. PATENT ASSIGNEE(S): Aeropharm Technology, Inc., USA

SOURCE: PCT Int. Appl., 19 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PA' | TENT | NO. | | | KIN | D | DATE | | | APPL | ICAT | ION | NO. | | D | ATE | |
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2002 | | | | A2
A3 | | 2002
2002 | | 1 | WO 2 | 000- | US42 | 625 | | 2 | 0001 | 207 < |
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MR, | MC,
NE, | NL,
SN, | PT, | SE,
TG | TR, | BF, |
| PRIORIT | 2001
Y APP
 | | INFO | .: | A5 | | | 0205 | 1 | US 2 | 000- | 6191 | 83 | | A 2 | 0000 | 207 <
719 <
207 < |

AB This invention relates to a medicinal aerosol formulation and more particularly, to a medicinal aerosol formulation containing a particulate drug, or combination of at least two particulate drugs a propellant and a stabilizing agent comprising a water addition (no data).

L18 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:863509 CAPLUS

DOCUMENT NUMBER: 136:15232

TITLE: Methods for treating immunomediated inflammatory

disorders and changing skin pigmentation

INVENTOR(S): Costanzo, Michael J.

PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA

SOURCE: U.S., 52 pp., Cont.-in-part of U.S. Ser. No. 110,409.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--------|-----------|---------------------|-----------------|
| | | | | |
| US 6323219 | B1 | 20011127 | US 1999-238882 | 19990127 < |
| AU 2002305718 | A1 | 20031212 | AU 2002-305718 | 20020524 |
| EP 1507509 | A1 | 20050223 | EP 2002-734558 | 20020524 |
| R: AT, BE, CH, | DE, DK | , ES, FR, | GB, GR, IT, LI, LU, | NL, SE, MC, PT, |
| IE, SI, LT, | LV, FI | , RO, MK, | CY, AL, TR | |
| PRIORITY APPLN. INFO.: | | | US 1998-80441P | P 19980402 < |
| | | | US 1998-110409 | A2 19980706 < |
| | | | WO 2002-US16713 | A 20020524 |

OTHER SOURCE(S): MARPAT 136:15232

AB Methods and compns. are provided for bringing about changes in skin pigmentation and for treating inflammatory disorders. More particularly, the invention provides compds. which affect melanogenesis and can be used as depigmenting agents or as agents for darkening skin utilizing the protease-activated receptor 2 (PAR-2) pathway and compds. for the prevention and treatment of immunomediated inflammatory diseases, particularly those associated with the respiratory tract, e.g. asthma and allergic rhinitis.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:401693 CAPLUS

DOCUMENT NUMBER: 133:34456

TITLE: A medicinal aerosol formulation

INVENTOR(S):

Adjei, Akwete; Cutie, Anthony J.

PATENT ASSIGNEE(S):

Aeropharm Technology Incorporated, USA

SOURCE: PCT Int. Appl., 15 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| P. | PATENT NO. | | | | KIND DATE | | | APPLICATION NO. | | | | | | DATE | | | | |
|---------|------------|------|------|-----|-----------|-----|------|-----------------|-----|-------|------|------|-----|------|-----|------|-----|---|
| W | 2000 | 0338 | 92 | | A1 | | 2000 | 0615 | , | WO 1 | 999- | US28 | 644 | | 1 | 9991 | 203 | < |
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| | | CZ, | DE, | DK, | DM, | EE, | ES, | FI, | GB, | GD, | GE, | GH, | GM, | HR, | HU, | ID, | IL, | |
| | | IN, | IS, | JP, | ΚE, | KG, | ΚP, | KR, | ΚZ, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | MA, | |
| | | MD, | MG, | MK, | MN, | MW, | MX, | NO, | ΝZ, | PL, | PT, | RO, | RU, | SD, | SE, | SG, | SI, | |
| | | SK, | SL, | TJ, | TM, | TR, | TT, | ΤZ, | UA, | UG, | UZ, | VN, | YU, | ZA, | ZW | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | SD, | SL, | SZ, | ΤZ, | UG, | ZW, | AT, | BE, | CH, | CY, | DE, | |
| | | DK, | ES, | FI, | FR, | GB, | GR, | ΙE, | IT, | LU, | MC, | NL, | PT, | SE, | BF, | ВJ, | CF, | |
| | | CG, | CI, | CM, | GΑ, | GN, | GW, | ML, | MR, | NE, | SN, | TD, | ΤG | | | | | |
| U | S 6261 | .539 | | | В1 | | 2001 | 0717 | 1 | US 19 | 998- | 2092 | 28 | | 1 | 9981 | 210 | < |
| C | A 2353 | 959 | | | AA | | 2000 | 0615 | | CA 1 | 999- | 2353 | 959 | | 1 | 9991 | 203 | < |
| E | P 1135 | 173 | | | A1 | | 2001 | 0926 | | EP 1 | 999- | 9651 | 04 | | 1 | 9991 | 203 | < |
| | R: | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, | |
| | | ΙE, | SI, | LT, | LV, | FI, | RO | | | | | | | | | | | |
| A | U 7496 | 36 | | | В2 | | 2002 | 0627 | | AU 20 | -000 | 3108 | 9 | | 1 | 9991 | 203 | < |
| J | P 2003 | 5214 | 59 | | Т2 | | 2003 | 0715 | | JP 20 | -000 | 5863 | 82 | | 1 | 9991 | 203 | < |
| PRIORI' | TY APF | LN. | INFO | .: | | | | | 1 | US 19 | 998- | 2092 | 28 | | A 1 | 9981 | 210 | < |
| | | | | | | | | | 1 | WO 1 | 999- | US28 | 644 | 1 | W 1 | 9991 | 203 | < |

AB This invention relates to a medicinal aerosol formulation and more particularly, to a medicinal aerosol formulation containing a particulate drug, a propellant and a stabilizing agent comprising a water addition Generally the formulations can be prepared by combining (1) the drug, e.g. triamcinolone acetonide, in an amount sufficient to provide a plurality of therapeutically EDs, (2) the water addition in an amount effective to stabilize each of the formulations, (3) the propellant in an amount sufficient to propel a plurality of doses from an aerosol canister, and (4) any further optional components, e.g. ethanol as a cosolvent and dispersing the components.

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

1

ACCESSION NUMBER:

2000:351357 CAPLUS

DOCUMENT NUMBER:

133:9107

TITLE:

Dry powder for inhalation

INVENTOR(S):

Keller, Manfred; Mueller-Walz, Rudi

PATENT ASSIGNEE(S):

Skyepharma A.-G., Switz.

SOURCE:

PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

| PAT | TENT | NO. | | | KIN | D | DATE | | I | APPL | ICAT: | ION I | NO. | | D. | ATE | | |
|-----|------|-----|----|-----|-----|-----|------|------|--------|------|-------|-------|-----|-----|-----|-------|-----|---|
| WO | 2000 | | | | A1 | | | | -
V | | | | ~ | | | 9991 | 110 | < |
| | | | | | | | | | NO, | | | | | | | | | |
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| | | PT, | SE | | | | | | | | | | | | | | | |
| СA | 2347 | 856 | | | AA | | 2000 | 0525 | | CA 1 | 999- | 23478 | 356 | | 19 | 9991: | 110 | < |
| ΑU | 9964 | 578 | | | A1 | | 2000 | 0605 | I | AU 1 | 999- | 64578 | 3 | | 19 | 9991 | 110 | < |
| ΑU | 7568 | 52 | | | В2 | | 2003 | 0123 | | | | | | | | | | |

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                                           CH 1998-2286
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AB
    The moisture resistance of dry powder formulations for inhalation, which
    contain a pharmaceutically inert carrier of noninhalable particle size and
    a finely divided pharmaceutical substance of inhalable particle size, is
    improved and the storage stability of the formulations is increased by
    adding Mg stearate to minimize the deleterious effect of moisture on fine
    particle dose and fine particle fraction even under relatively extreme
    temperature and humidity conditions. Thus, 198.46 g lactose-H2O (particle size
    100% <200 \mu\text{m}, 50% <125 \mu\text{m}, 10% <75 \mu\text{m}) was mixed with 1 g sieved
    Mg stearate, then with 0.54 g formoterol fumarate-2H2O, and loaded into a
    multidose dry powder inhaler.
REFERENCE COUNT:
                        8
                              THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS
                              RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
L18 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                        2000:96087 CAPLUS
DOCUMENT NUMBER:
                        132:141964
TITLE:
                        Two-piece capsule for pharmaceutical preparations for
                        dry powder inhalers
INVENTOR(S):
                        Hochrainer, Dieter; Eckert, Josef
PATENT ASSIGNEE(S):
                        Boehringer Ingelheim Pharma K.-G., Germany
SOURCE:
                        Ger. Offen., 10 pp.
                        CODEN: GWXXBX
DOCUMENT TYPE:
                        Patent
LANGUAGE:
                        German
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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            BY, KG, KZ, MD, RU, TJ, TM
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EP 1999-944325

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                                                                  20010126 <--
     BG 64115
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     US 2001008637
                        A1
                               20010719
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                         A1
                               20041210
                                           HK 2001-108874
     US 2004131668
                         A1
                               20040708
                                           US 2003-740225
                                                                  20031218 <--
                                                             A 19980805 <--
PRIORITY APPLN. INFO.:
                                           DE 1998-19835346
                                                             P 19981222 <--
                                           US 1998-113214P
                                           US 1999-365912
                                                              A1 19990803 <--
                                           WO 1999-EP5614
                                                              W 19990803 <--
                                           US 2001-800647
                                                              A1 20010307 <--
    Capsules for pharmaceutical prepns. for use in dry powder inhalers with
AB
     increased drug safety consist of water-insol., hydrophobic
    plastics which do not substantially affect the pharmaceutical quality of
    the contents, but improve their useful life and/or the geog. range of
     their use (especially with regard to humidity). The capsules have a Shore
    hardness of 65-73, such that during opening or puncture of the capsule, no
     capsule fragments are produced which could be inhaled, and that the
    capsule cannot spontaneously reseal after opening or puncture. They can
    withstand a force of ≤15 N in all directions during manufacture,
     filling, packing, and transport. The capsules have a permeability for
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L18 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

water vapor of <1.3 + 10-14 kg/(m2 s Pa).

ACCESSION NUMBER:

1995:528673 CAPLUS

DOCUMENT NUMBER:

122:274076

TITLE:

Process for conditioning substances

INVENTOR(S):

Trofast, Eva Ann-Christin; Briggner, Lars-Erik

PATENT ASSIGNEE(S):

Astra Aktiebolag, Swed.

SOURCE:

PCT Int. Appl., 20 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

| PATENT NO. | | | | KIND DATE | | | APPLICATION NO. | | | | | | DATE | | | | | |
|------------|-------|-----|-----|-----------|------------|-----|-----------------|------|-----|------|-------|-------|------|-----|-----|-------|-----|---|
| | | | | | | _ | | | | | | | | | | | | |
| WO | 95058 | 05 | | | A1 | | 1995 | 0302 | 1 | WO 1 | 994-: | SE780 |) | | 19 | 9940 | 325 | < |
| | W: | ΑM, | AT, | ΑU, | BB, | BG, | BR, | BY, | CA, | CH, | CN, | CZ, | DE, | DK, | EE, | ES, | FI, | |
| | | GB, | GE, | HU, | JP, | ΚE, | KG, | ΚP, | KR, | ΚZ, | LK, | LT, | LU, | LV, | MD, | MG, | MN, | |
| | | MW, | NL, | NO, | ΝZ, | PL, | PT, | RO, | RU, | SD, | SE, | SI, | SK, | ТJ, | TT, | UA, | US, | |
| | | UZ, | VN | | | | | | | | | | | | | | | |
| | RW: | ΚE, | MW, | SD, | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IE, | IT, | LU, | MC, | |
| | | NL, | PT, | | | | | | | CM, | | | | | | | | |
| ZA | 94056 | 75 | | | Α | | 1996 | 0429 | | ZA 1 | 994- | 5675 | | | 19 | 9940 | 729 | < |
| TW | 42791 | 6 | | | В | | 2001 | 0401 | | TW 1 | | | | | | 99408 | 304 | < |
| IL | 11069 | 8 | | | A 1 | | 2002 | 1110 | | IL 1 | 994- | 1106 | 98 | | 19 | 99408 | 318 | < |
| CA | 21703 | 94 | | | AA | | 1995 | 0302 | | CA 1 | 994- | 2170 | 394 | | 19 | 99408 | 325 | < |
| CA | 21703 | 94 | | | С | | 2004 | 1012 | | | | | | | | | | |

| AU | 9476264 | | A1 | 19950321 | AU 1994-76264 | | 19940825 < | |
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| AU | 681186 | | B2 | 19970821 | | | | |
| BR | 9407320 | | Α | 19960416 | BR 1994-7320 | | 19940825 < | |
| EP | 717616 | | A1 | 19960626 | EP 1994-926421 | | 19940825 < | |
| EP | 717616 | | В1 | 20010321 | | | | |
| | R: AT, | BE, CH, | DE, | DK, ES, FR, | GB, GR, IE, IT, LI, | LU, MO | C, NL, PT, SE | |
| CN | 1133004 | | Α | | CN 1994-193793 | | | |
| CN | 1049333 | | В | 20000216 | | | | |
| HU | 74000 | | A2 | 19961028 | HU 1996-447 | | 19940825 < | |
| ни | 217770 | | В | 20000428 | | | | |
| JP | 09501930 | | Т2 | 19970225 | JP 1994-507516 | | 19940825 < | |
| JP | 2978247 | | В2 | 19991115 | | | | |
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| RU | 2148992 | | C1 | 20000520 | RU 1996-105935 | | 19940825 < | |
| AT | 199828 | | E | 20010415 | AT 1994-926421 | | 19940825 < | |
| ES | 2156158 | | Т3 | 20010616 | ES 1994-926421 | | 19940825 < | |
| PT | 717616 | | T | 20010830 | PT 1994-926421 | | 19940825 < | |
| CZ | 289018 | | В6 | 20011017 | CZ 1996-544 | | 19940825 < | |
| SK | 283146 | | В6 | 20030304 | SK 1996-234 | | 19940825 < | |
| US | 5709884 | | Α | 19980120 | US 1995-379471 | | 19950130 < | |
| NO | 9600744 | | Α | 19960223 | NO 1996-744 | | 19960223 < | |
| NO | 312433 | | В1 | 20020513 | | | | |
| FI | 9600869 | | Α | 19960226 | FI 1996-869 | | 19960226 < | |
| CN | 1195523 | | Α | 19981014 | CN 1997-123049 | | 19971126 < | |
| CN | 1090019 | | В | 20020904 | | | | |
| HK | 1016493 | | A1 | 20030425 | нк 1999-101600 | | 19990414 < | |
| GR | 3036106 | | Т3 | 20010928 | GR 2001-400955 | | 20010621 < | |
| | Y APPLN. | INFO.: | | | SE 1993-2777 | | | |
| | | | | | WO 1994-SE780 | | 19940825 < | |
| | | | | | | | | |

AB The present invention relates to a process for providing a stable crystalline form to a fine-grained substance or a substance mixture, which can be produced, stored and used while maintaining the aerodynamic properties required for inhalation of such a substance or a substance mixture, by a) in case of a substance mixture, preparing a homogeneous mixture of the substances; b) micronizing, direct precipitating or diminishing by any conventional method the

substance or substance mixture into a particle size required for inhalation, the particle size being less than 10 μm ; c) optionally preparing a homogeneous mixture of the desired substances when each substance has been introduced from stage b) as sep. fine-grained particles; d) conditioning said substance or substance mixture by treatment with a **water** containing vapor phase in a controlled fashion; and e) drying.

=> d L19 1-7 ibib abs

L19 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:376641 CAPLUS

DOCUMENT NUMBER: 138:385438

TITLE: Preparation of pyridazinylmethanoylphenylhydrazonomalo

nitriles as phosphodiesterase IV inhibitors.

INVENTOR(S): Eggenweiler, Hans-Michael; Wolf, Michael; Beier,

Norbert; Schelling, Pierre; Ehring, Thomas

PATENT ASSIGNEE(S): Merck Patent Gmbh, Germany

SOURCE: PCT Int. Appl., 114 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|------------|
| | | | | |
| WO 2003039548 | A1 | 20030515 | WO 2002-EP11351 | 20021010 < |

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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
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     BR 2002013683
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                          A1
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PRIORITY APPLN. INFO.:
                                             EP 2001-125455
                                                                 A 20011105 <--
                                             WO 2002-EP11351
                                                                 W 20021010
OTHER SOURCE(S):
                         MARPAT 138:385438
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$$\begin{array}{c|c}
R^1 \\
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N-N \\
R^3 \\
\end{array}$$

$$\begin{array}{c}
CN \\
R^4 \\
\end{array}$$

AB Title compds. [I; R1, R2 = H, OH, OR5, SR5, SOR5, SO2R5, X; R1R2 = OCH2O, OCH2CH2O; R3, R31 = H, R5, OH, OR5, NH2, NHR5, NHCOR5, X, CO2H, CO2R5, CONH2, etc.; R4 = cyano, tetrazolyl; R5 = (fluoro-substituted) A, cycloalkyl, (CH2)nAr; A = (fluoro- and/or chloro-substituted) alkyl, alkenyl; Ar = Ph; n = 0-2; X = F, Cl, Br, iodo], were prepared Thus, [3-(3,4-diethoxyphenyl)-5,6-dihydro-4H-pyridazine-1-yl]-(3aminophenyl) methanone (preparation given) was stirred with NaNO2 in aq . HCl for 1 h at -2° to 0° ; malononitrile in H2O was added followed by stirring for 2 h to give a residue which was treated with KOH in MeOH to give 2-[[3-[1-[3-(3,4-diethoxyphenyl)-5,6-dihydro-4H-pyridazin-1-yl]methanoyl]phenyl]hydrazono]malononitrile K salt. I were said to give a marked reduction of T cell proliferation. I are claimed for treatment of osteoporosis, tumors, cachexia, atherosclerosis, rheumatoid arthritis, multiple sclerosis, diabetes mellitus, inflammatory processes, allergies, asthma, autoimmune diseases, myocardial diseases, AIDS, etc. THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT:

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Ι

L19 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:257320 CAPLUS

DOCUMENT NUMBER: 138:260488

TITLE: Method for the production of sterile liquid

preparations for inhalation Keller, Manfred; Lintz, Frank

INVENTOR(S): PATENT ASSIGNEE(S): Pari Gmbh, Germany

SOURCE: Ger. Offen., 14 pp. CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

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KIND DATE APPLICATION NO.
    PATENT NO.
                                                              DATE
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                              20030403 DE 2001-10145361 20010914 <--
                       A1
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                       A1 20040512 EP 2002-25006
    EP 1417958
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    CA 2475577
                        AΑ
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                                         CA 2003-2475577
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                           20040607 AU 2003-279326 20031028
20050803 EP 2003-772269 20031028
    AU 2003279326
                       A1
    EP 1558217
                        A1
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    US 2006057073
                       A1 20060316
                                         US 2004-517910
                                                              20041208
PRIORITY APPLN. INFO.:
                                         DE 2001-10145361
                                                           A 20010914 <--
                                         EP 2002-25006
                                                           A 20021108
                                         WO 2003-EP11949
                                                           W 20031028
```

The invention concerns the production of sterile aq. inhalation AΒ aerosols containing slightly soluble drugs by (a) preparing an aq. suspension containing drug particles larger than 1 μm and a dissolved surfactant; (b) reduction of the particle size by high pressure homogenization or collision jet grinding to obtain particles less than 1 μm ; (c) heat treatment of the suspension for sterilization, the final average particle size is less than 2 μm . The inhalants are formulated for pulmonary and nasal use. Suspensions can be nebulized by aerosol nozzles, ultrasound, vibrating membranes with defined pore sizes or electrohydrodynamically.

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L19 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
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ACCESSION NUMBER: 2002:671829 CAPLUS

DOCUMENT NUMBER: 137:206550

TITLE: Inhalatory compositions of formoterol

Gagnoni, Alessandro; Meoli, Andrea; Vanossi, Sereno INVENTOR(S):

PATENT ASSIGNEE(S): Chemo Healthcare S.A., Switz.

SOURCE: Eur. Pat. Appl., 7 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

| PA | ATENT NO. | KIND DATE | APPLICATION NO. | DATE |
|-------|--|------------------------------------|---|---|
| E F | | DE, DK, ES, FR, | EP 2002-4635
GB, GR, IT, LI, LU, NL, | 20020228 <
SE, MC, PT, |
| US | A 2374257
S 2002155068 | | CA 2002-2374257
US 2002-86868 | 20020301 <
20020304 < |
| AB In | TY APPLN. INFO.: nhalatory pharmace omprises a vial co | utical compns. containing a steril | IT 2001-MI428 ontaining formoterol as le liquid vehicle suitab powder mixture consistir | active ingredient,
ole for inhalation, a |

related salt in micronized form and one or more excipients, soluble in the vehicle and suitable for respiratory use. The composition comprises a further active ingredient, i.e., budesonide, fluticasone,

flunisolide, mometasone or ipratropium bromide.

REFERENCE COUNT: THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS 4 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:594842 CAPLUS

DOCUMENT NUMBER: 137:154859

TITLE: Preparation of carbamoyl-substituted pyridinyl aryl

ether derivatives as inhibitors of phosphodiesterase.

IV isozymes

INVENTOR(S): Chambers, Robert James; Magee, Thomas Victor; Marfat,

Anthony

PATENT ASSIGNEE(S): Pfizer Products Inc., USA SOURCE: PCT Int. Appl., 285 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PA' | TENT | NO. | | | KIN | | DATE | | | | LICAT | | | | | DATE | | |
|---------|------------------------------|------|-----|-----|------|-----|------|------|-----|------|-------|------|-----|-----|----|-------|-----|---|
| WO | | | | | A1 | | 2002 | 0808 | | WO. | 2001- | IB27 | 26 | | | | | |
| | W: | | | | | | | | | | , BG, | | | | | | | |
| | | | | | | | | | | | , EE, | | | | | | | |
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| | | | | | | | | | | | , MW, | | | | | | | |
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| | | • | • | • | | | YU, | | | | | | | | | | | |
| | RW: | | | | | | | | | | , TZ, | | | | | | | |
| | | | | | | | | | | | , IT, | | | | | | | |
| | | BF, | ВJ, | CF, | CG, | | | | | | , GW, | | | | | | | |
| | 2436 | | | | | | 2002 | 8080 | | CA | 2001- | 2436 | 544 | | | 20011 | 224 | < |
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| EP | 1373 | 258 | | | A1 | | 2004 | 0102 | | EP. | 2001- | 2735 | 58 | | | 20011 | 224 | < |
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| JP | 2004 | 5186 | 39 | | Т2 | | 2004 | 0624 | | JP . | 2002- | 5614 | 64 | | | 20011 | 224 | < |
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| AT | 3054 | 6/ | | | E | | 2005 | 1015 | | | 2001- | | | | | 20011 | 224 | < |
| US | 2003 | 0278 | 45 | | A1 | | 2003 | 0206 | | US . | 2002- | 6650 | 3 | | | 20020 | 131 | < |
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6828 | 333 | | | B2 | | 2004 | 1207 | | | | | | | | | | |
| ZA | 2003 | 0048 | 93 | | Α | | 2004 | 0624 | | ZA . | 2003- | 4893 | | | | 20030 | 624 | < |
| BG | 1079 | 60 | | | A | | 2004 | 1029 | | BG . | 2003- | 1079 | 60 | | | 20030 | 701 | < |
| NO | 2003 | 0033 | | | | | 2003 | | | NO . | 2003- | 3399 | | | | 20030 | 730 | < |
| US | 2005 | 0492 | 58 | | A1 | | 2005 | 0303 | | US | 2004- | 9188 | 20 | | | 20040 | | |
| RIORIT | Y APP | LN. | | | | | | | | | 2001- | | | | | | | |
| | | | _ | | | | | | | | 2001- | | | | | | | |
| | | | | | | | | | | | 2002- | | | | | 20020 | | |
| THER SO | OURCE | (S): | | | MARI | PAT | 137: | 1548 | | | | | - | | | | | |

OTHER SOURCE(S): MARPAT 137:154859

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Title compds. compds. I [wherein p = 0-1, provided that when p = 0, n = 2; AB m = 1-3; n = 1-2; W1 and W2 = independently O, S(O)0-2, or NR3; Y = =C(R1a) or N(O)0-1; R1a = H, F, C1, CN, NO2, (fluoro)alkyl, alkynyl, fluoroalkoxy, OR16, or (un) substituted carbamoyl; RA and RB = independently H, F, CF3, or (un) substituted (cyclo) alkyl, Ph, or benzyl; or CRARB = spiro moiety; RC and RD = the same as RA and RB except that one of them must be H; R1 and R2 = independently H, F, C1, CN, NO2, (fluoro)alkyl, alkynyl, OR16, or (un)substituted carbamoyl; R3 = H, alkyl, Ph, benzyl, or OR16; R4, R5 and R6 = independently H, F. Cl, alkynyl, R16, OR16, SO0-2R16, COR16, CO2R16, OCOR16, CN, NO2, (un) substituted carbamoyl(oxy), ureido, carboximidoyl, aryl, heterocyclyl, etc.; or R5 and R6 taken together with the atoms to which they are attached = (hetero)cyclyl; J1 and J2 = independently (un)substituted, (un)saturated monocyclic or fused polycyclic ring; D = (un)substituted carboxy, carbamoyl, acyl, hydroxy(alkyl), cyano(alkyl), etc.; R16 = H or (un)substituted (cyclo)alkyl, alkenyl, Ph, benzyl, or pyridyl] were prepared as inhibitors of PDE4 (no data). For example, 2-(benzo[1,3]dioxol-5yloxy) nicotinic acid was coupled with (4-aminomethyl-3fluorophenoxy) acetic acid Me ester in the presence of 1hydroxybenzotriazole•H2O and 1-[3-(dimethylamino)propyl]-3ethylcarbodiimide•HCl in DMF/CH2Cl2 to give the pyridinecarboxamide II (R = Me) in 38% yield. Saponification using aq. LiOH in THF and MeOH afforded the desired acid II (R = OH) in 21% yield. I are useful in the treatment of diseases regulated by the activation and degranulation of eosinophils, especially asthma, chronic bronchitis, and chronic obstructive pulmonary disease (no data). In addition, I may be used in combination therapy with a wide variety of other therapeutic agents. THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 8

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II

L19 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER:

DOCUMENT NUMBER:

2002:591707 CAPLUS

137:140509

TITLE:

Preparation of nicotinamides and mimetics as inhibitors of phosphodiesterase IV isozymes

INVENTOR(S):

Chambers, Robert J.; Magee, Thomas V.; Marfat, Anthony

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

PATENT ASSIGNEE(S): Pfizer Products Inc., USA SOURCE: Eur. Pat. Appl., 180 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PAT | TENT NO. | | | KINI | D DATE | APPLICATION NO. | | DATE |
|----------|----------|------|-----|------|-------------|--------------------------------|-----|--------------|
| | 1229034 | | | A1 | 20020807 | EP 2002-250202 | | 20020111 < |
| EP | 1229034 | B.E. | ~ | B1 | 20050413 | | | |
| | R: AT, | • | LT, | • | FI, RO, MK, | GB, GR, IT, LI, LU, CY, AL, TR | ΝL, | SE, MC, PT, |
| AT | 293109 | , | , | E, | 20050415 | | | 20020111 < |
| ES | 2239203 | | | Т3 | 20050916 | ES 2002-2250202 | | 20020111 < |
| CA | 2369462 | | | AA | 20020731 | CA 2002-2369462 | | 20020129 < |
| US | 20021114 | 95 | | A1 | 20020815 | US 2002-62811 | | 20020131 < |
| BR | 20020002 | 50 | | Α | 20021008 | BR 2002-250 | | 20020131 < |
| US | 20041717 | 98 | | A1 | 20040902 | US 2004-781062 | | 20040217 < |
| PRIORITY | Y APPLN. | INFO | .: | | | US 2001-265240P | E | 20010131 < |
| | | | | | | US 1997-43403P | E | ? 19970404 < |
| | | | | | | US 1998-105120P | F | 9 19981021 < |
| | | | | | | US 2002-62811 | Ε | 31 20020131 |

OTHER SOURCE(S): MARPAT 137:140509

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Title compds. [I; p, q = 0, 1; m = 0-2; n = 1, 2; A = CO2R7, CONR9CO2R7, AΒ CONR7R9, OP(O)(OH)2, SO3H, acylsulfonamido, etc.; W = O, S, SO, SO2, NR3; Y = N, NO, CR11; R1, R2 = H, F, Cl, cyano, NO2, alkyl, alkynyl, fluoroalkyl, etc.; R3 = H, alkyl, Ph, PhCH2, etc.; R4-R6 = H, F, Cl, alkynyl, cyano, NO2, etc.; R7 = H, (substituted) alkyl, alkenyl, alkynyl; R9 = H, alkyl, cycloalkyl, Ph, PhCH2, pyridyl, etc.; R11 = H, F, Cl, cyano, NO2, alkyl, alkynyl, fluoroalkyl, fluoroalkoxy, etc.; Ra, Rb = H, F, CF3, alkyl, (substituted) cycloalkyl, Ph, PhCH2; B1, B2 = 3-7 membered (hetero)cyclyl, 7-12 membered poly(hetero)cyclyl; pairs of variables may form rings; with provisos], were prepared (no data). Thus, Me 2-[4-[[[2-(benzo[1,3]dioxol-5-yloxy)pyridine-3carbonyl]amino]methyl]phenyl]-2-methylpropionate was suspended in Me3COH. Aq. NaOH was added to the suspension, and the reaction mixture was refluxed 1 h to give 2-[4-[[[2-(benzo[1,3]dioxol-5-yloxy)pyridine-3carbonyl]amino]methyl]phenyl]-2-methylpropionic acid. REFERENCE COUNT: 6

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REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:152458 CAPLUS

DOCUMENT NUMBER: 134:183526

TITLE: Method to produce powders for pulmonary or nasal

administration

INVENTOR(S): Woolfe, Austen John; Zeng, Xian Ming; Langford, Alan

PATENT ASSIGNEE(S): Norton Healthcare Ltd., UK SOURCE: PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATE | NT NO. | | | KIN | D | DATE | | | APPL | ICAT | ION I | NO. | | Dž | ATE | |
|------------|---------|------|-----|-----|-----|------|------|-----|------|------|-------|-----|-----|-----|-------|-------|
| WO 2 | 0010138 | 85 | | A1 | _ | 2001 | 0301 | 1 | WO 2 | 000- | GB32 | 30 | | 2 | 0000 | 321 < |
| , | W: AE, | AG, | AL, | AM, | AT, | ΑU, | ΑZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, |
| | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EE, | ES, | FI, | GB, | GD, | GE, | GH, | GM, | HR, |
| | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | ΚP, | KR, | ΚZ, | LC, | LK, | LR, | LS, | LT, |
| | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | NZ, | PL, | PT, | RO, | RU, |
| | SD, | SE, | SG, | SI, | SK, | SL, | ТJ, | TM, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VN, |
| | YU, | ZA, | ZW, | AM, | AZ, | BY, | KG, | ΚZ, | MD, | RU, | ТJ, | TM | | | | |
| | RW: GH, | GM, | ΚE, | LS, | MW, | ΜZ, | SD, | SL, | SZ, | ΤZ, | UG, | ZW, | AT, | BE, | CH, | CY, |
| | DE, | DK, | ES, | FI, | FR, | GB, | GR, | ΙE, | IT, | LU, | MC, | NL, | PT, | SE, | BF, | ВJ, |
| | CF, | CG, | CI, | CM, | GA, | GN, | GW, | ML, | MR, | NE, | SN, | TD, | ΤG | | | |
| CA 2 | 382216 | | | AA | | 2001 | 0301 | (| CA 2 | 000- | 2382 | 216 | | 20 | 0000 | 321 < |
| JP 2 | 0035266 | 29 | | Т2 | | 2003 | 0909 | | JP 2 | 001- | 5180 | 24 | | 2 | 0000 | 321 < |
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AB A pharmaceutical formulation comprises a mixture of two or more drugs optionally together with one or more excipients, the mixture being formed by the steps of: co-crystallization or co-precipitation of the drugs followed by micronization or milling to produce a uniform powder having a particle size and other properties suitable for formulation for pulmonary or nasal administration. An aq. solution of 5% salbutamol

sulfate:ipratropium bromide (10:1) mixture was prepared and was spray dried. The diameter of particles was less than 3 μm .

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1989:13580 CAPLUS

DOCUMENT NUMBER:

110:13580

TITLE:

Formation of dry liposomes and their administration as

aerosols

INVENTOR(S):

Axelsson, Bengt Ingemar; Bystroem, Ulla Katarina; Dahlbaeck, Carl Magnus Olof; Kaellstroem, Leif Arne;

Nilsson, Per Gunnar; Trofast, Jan William

PATENT ASSIGNEE(S):

SOURCE:

Draco AB, Swed.

Eur. Pat. Appl., 12 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

| PATENT NO. | KIND DATE | APPLICATION NO. | DATE |
|---------------------------------------|-----------------|-------------------------|-------------|
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R: ES, GR | A1 19880316 | EP 1987-850273 | 19870908 < |
| · · · · · · · · · · · · · · · · · · · | A 19880427 | ZA 1987-6641 | 19870904 < |
| WO 8801862 | A1 19880324 | WO 1987-SE401 | 19870908 < |
| W: AT, AU, BB, | BG, BR, CH, DE, | DK, FI, GB, HU, JP, KP, | KR, LK, LU, |
| MC, MG, MW, | NL, NO, RO, SD, | SE, SU | |
| RW: AT, BE, BJ, | CF, CG, CH, CM, | DE, FR, GA, GB, IT, LU, | ML, MR, NL, |
| SE, SN, TD, | TG | | |
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| R: AT, BE, CH, | DE, FR, GB, IT, | LI, LU, NL, SE | |
| JP 01500668 | T2 19890309 | JP 1987-505390 | 19870908 < |
| HU 47840 | A2 19890428 | HU 1987-4531 | 19870908 < |

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| CA 1256798 | A1 | 19890704 | CA 1987-546527 | | 19870910 < |
| DK 8802473 | Α | 19880506 | DK 1988-2473 | | 19880506 < |
| FI 8802221 | Α | 19880511 | FI 1988-2221 | | 19880511 < |
| NO 8802077 | Α | 19880511 | NO 1988-2077 | | 19880511 < |
| PRIORITY APPLN. INFO.: | | | SE 1986-3812 | Α | 19860912 < |
| | | | WO 1987-SE401 | Δ | 19870908 < |

AB A system for administration of liposomes comprises a dry lipid-based solid material, which spontaneously forms or reconstitutes liposomes in an aq. medium, i.e., in vivo; the system also comprises a device for aerosolizing selected quantities of the dry liposomes. The system is especially

used for inhalation of drugs e.g. antiasthmatics. Dipalmitoyl phosphatidylcholine 7.22 and flumethasone 21-palmitate 0.38 were dissolved in tert-BuOH 76 g under gentle heating; the solution was frozen and lyophilized and the resulting powder was dispersed in aq. 3.3% lactose (432 g solution). The liposome dispersion was spray-dried to give a powder suitable for inhalation therapy (<3 µm); 2.8 g of the lyophilized micronized powder was dispersed in 434 g chilled 65:35 propellant 114 - propellant 115 mixture, and the blend was filled into Al containers and sealed with 50 μL valves. Rats given Sephadex beads by intratracheal instillation were exposed to the aerosol daily for 3 consecutive days. Rats treated with different doses from the pressurized dose-aerosols showed a significant dose-response relationship; the high dose level (doses not given) inhibited the development of lung edema and the animals showed the same lung weight as normal untreated controls. Controls implanted with Sephadex and treated with placebo pressurized dose-aerosols lacking the spray-dried powder developed pulmonary edema.